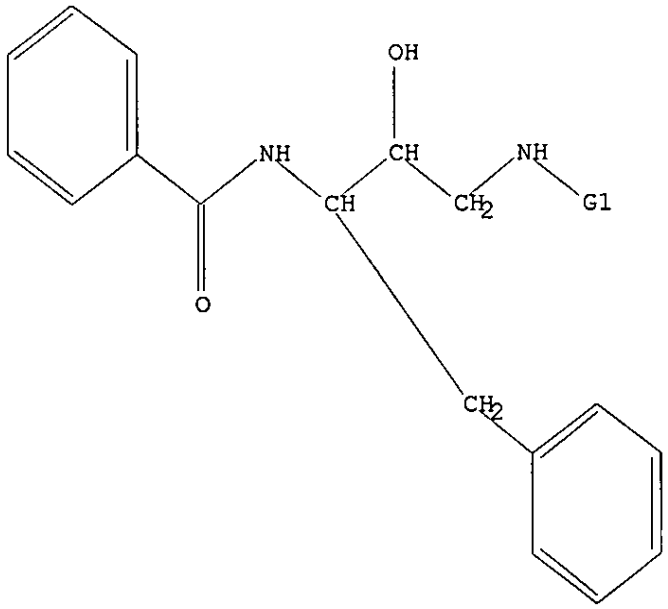
L1 HAS NO ANSWERS
L1 STR



G1 n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

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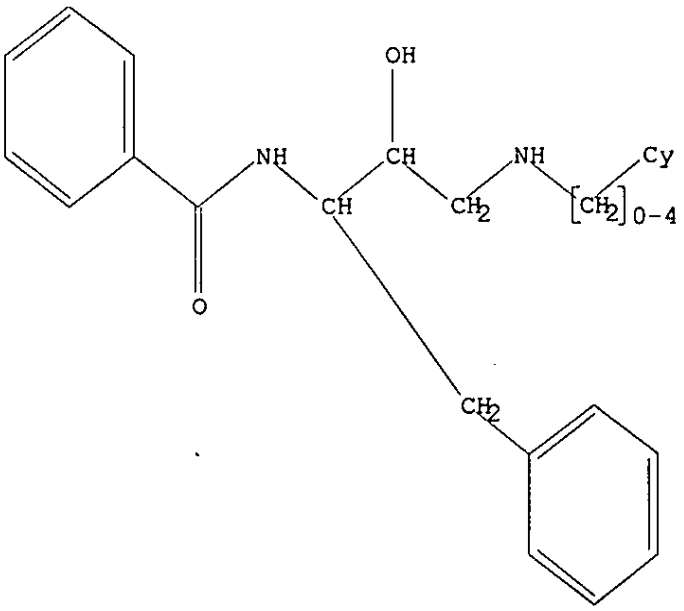
L2 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED -  13077 TO ITERATE

100.0% PROCESSED   13077 ITERATIONS                              10 ANSWERS
SEARCH TIME: 00.00.01

L3            10 SEA SSS FUL L1

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FULL SCREEN SEARCH COMPLETED -  13071 TO ITERATE

100.0% PROCESSED   13071 ITERATIONS                            1545 ANSWERS
SEARCH TIME: 00.00.01

L4          1545 SEA SSS FUL L2

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               310.84     311.05

FILE 'CAPLUS' ENTERED AT 10:17:00 ON 22 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 22 Mar 2004  VOL 140 ISS 13
FILE LAST UPDATED: 21 Mar 2004  (20040321/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L5             4 L3

=> s l4
L6            13 L4
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L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:696859 CAPLUS
DOCUMENT NUMBER: 139:230480
TITLE: Preparation of substituted amines prodrugs useful in treating Alzheimer's disease
INVENTOR(S): Varghese, John; Jagodzinska, Barbara; Maillard, Michel; Beck, James P.; Tenbrink, Ruth E.; Getman, Daniel
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072535	A2	20030904	WO 2003-US7287	20030227

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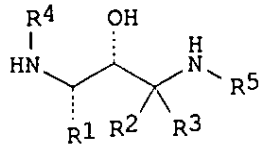
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-359953P P 20020227
OTHER SOURCE(S): MARPAT 139:230480
GI



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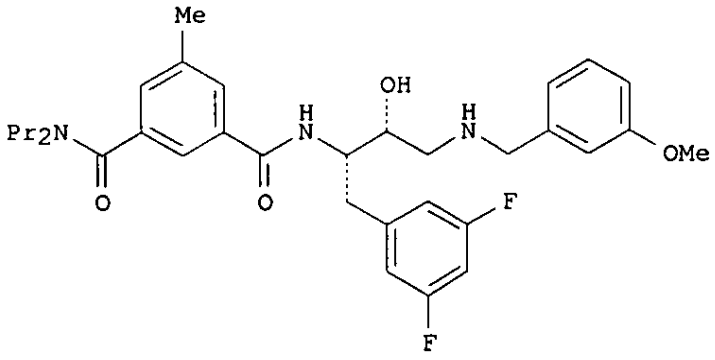


II

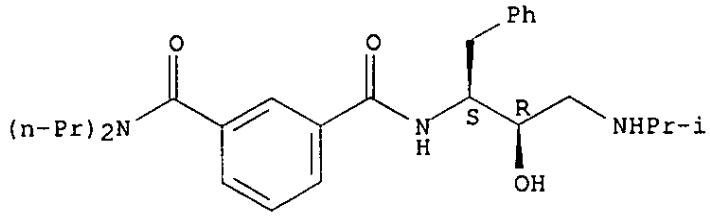
AB Amines [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.; e.g. N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide], useful in treating Alzheimer's disease and other similar diseases, were prepared Although the methods of preparation are not claimed, hundreds of example prepns. are included. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II (N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide). The compds. I exhibit an IC50 of < 50 μM against β-secretase.

IT **388062-20-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-N',N'-dipropylisophthalamide **388062-33-7P**, N-[(1S,2R)-1-Benzyl-3-(butylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-99-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isobutylamino)propyl]-N',N'-dipropylisophthalamide **388063-39-6P**, N-[(1S,2R)-3-(Butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-53-0P**, N-[(1S,2R)-3-(Butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N',N'-dipropylisophthalamide **590423-35-1P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N',N'-dipropylisophthalamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

RN 388062-20-2 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

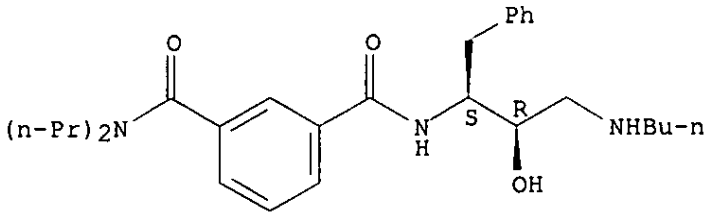
Absolute stereochemistry.



RN 388062-33-7 CAPLUS

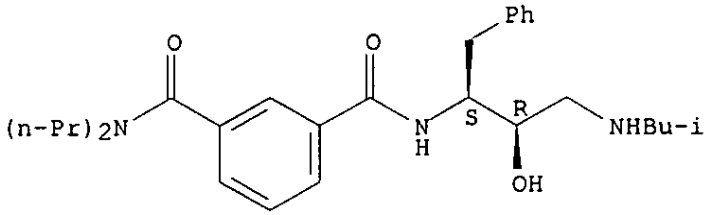
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(butylamino)-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



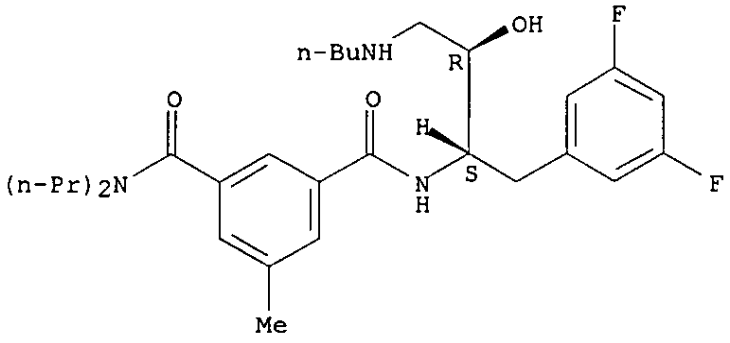
RN 388062-99-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



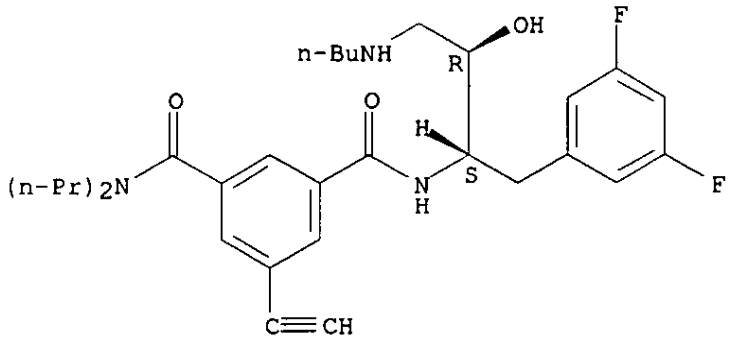
RN 388063-39-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(butylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



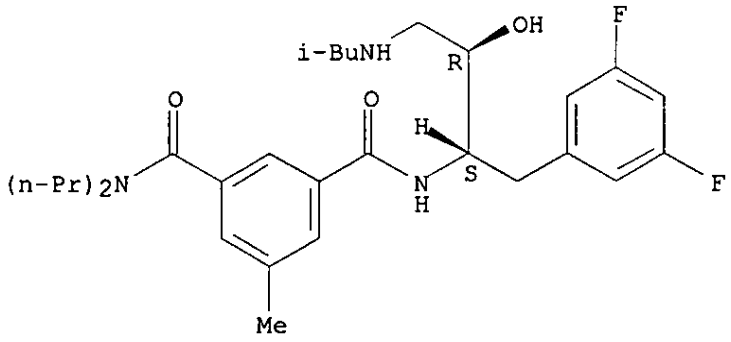
RN 388065-53-0 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(butylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]-5-ethynyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 590423-35-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[(2-methylpropyl)amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:412801 CAPLUS
DOCUMENT NUMBER: 139:245782
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2003040096 A2 20030515 WO 2002-US36072 20021108
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PRIORITY APPLN. INFO.: US 2001-337122P P 20011108
US 2001-344086P P 20011228
US 2002-345635P P 20020103
WO 2002-US36072 A 20021108

OTHER SOURCE(S): MARPAT 139:245782

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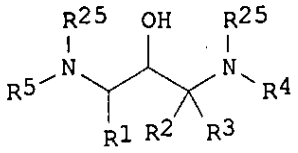

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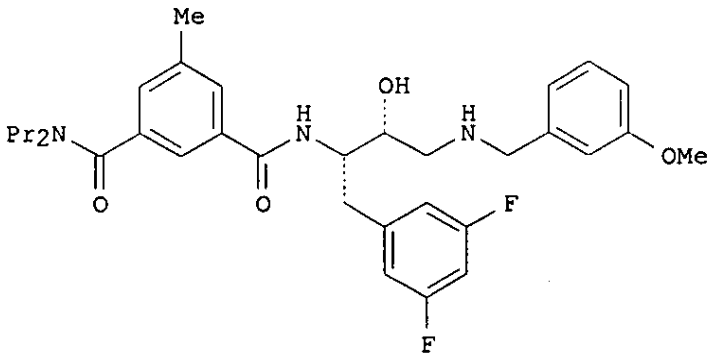


II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy,

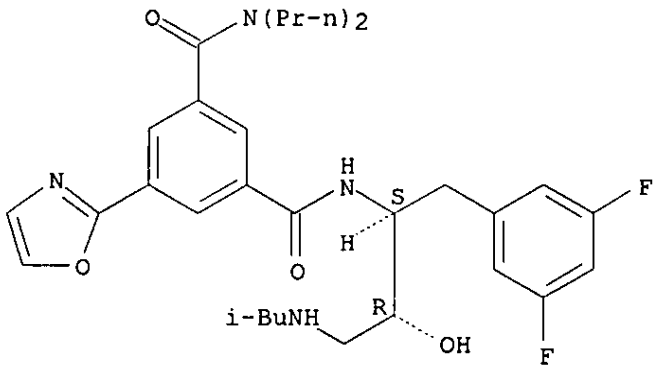
etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 2 of 1-2 series.

IT **597559-81-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 597559-81-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[(2-methylpropyl)amino]propyl]-5-(2-oxazolyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:376819 CAPLUS
DOCUMENT NUMBER: 138:385173
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-US36072	20021108

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PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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NE, SN, TD, TG
WO 2003040096 A2 20030515 WO 2002-XA36072 20021108
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NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-337122P P 20011108
US 2001-344086P P 20011228
US 2002-345635P P 20020103
WO 2002-US36072 A 20021108
OTHER SOURCE(S): MARPAT 138:385173
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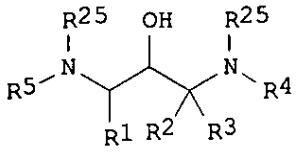

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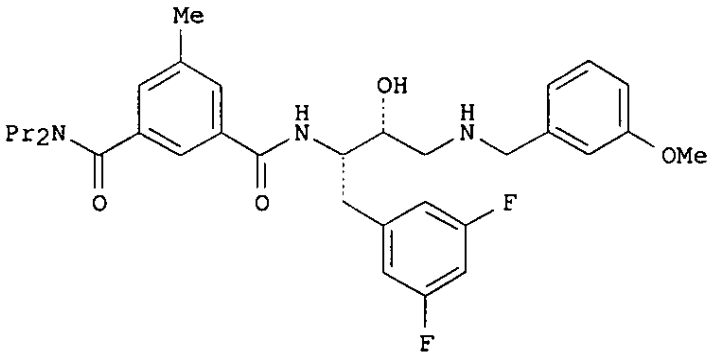


II

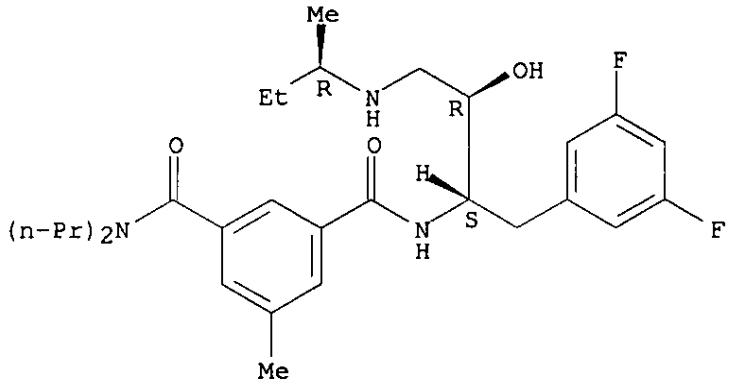
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy,

etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT **527718-24-7P 527726-59-6P 527727-51-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

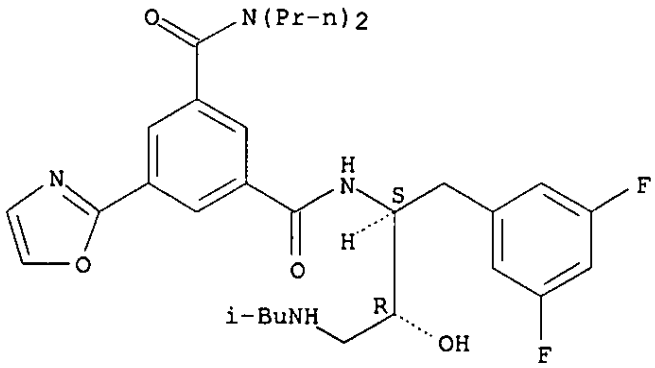
RN 527718-24-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(1R)-1-methylpropyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 527726-59-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[(2-methylpropyl)amino]propyl]-5-(2-oxazolyl)-N,N-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)

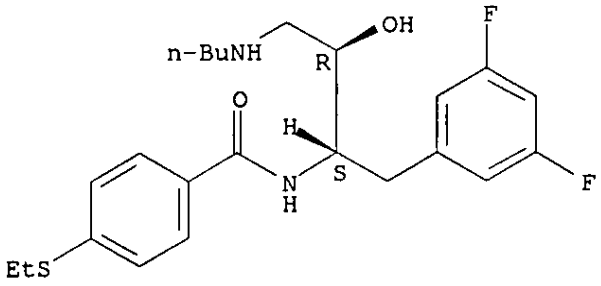
Absolute stereochemistry.



HCl

RN 527727-51-1 CAPLUS
CN Benzamide, N-[(1S,2R)-3-(butylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]-4-(ethylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:31402 CAPLUS
DOCUMENT NUMBER: 136:102190
TITLE: Preparation of substituted amines to treat Alzheimer's disease
INVENTOR(S): Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 651 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

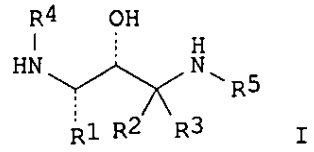
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002512	A2	20020110	WO 2001-US21012	20010629
WO 2002002512	A3	20030821		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002128255	A1	20020912	US 2001-896139	20010629
BR 2001012000	A	20030603	BR 2001-12000	20010629
EP 1353898	A2	20031022	EP 2001-952378	20010629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502669	T2	20040129	JP 2002-507769	20010629
NO 2002006199	A	20030221	NO 2002-6199	20021223

PRIORITY APPLN. INFO.: US 2000-215323P P 20000630
US 2000-252736P P 20001122

US 2000-255956P P 20001215
US 2001-268497P P 20010213
US 2001-279779P P 20010329
US 2001-295589P P 20010604
WO 2001-US21012 W 20010629

OTHER SOURCE(S): MARPAT 136:102190

GI



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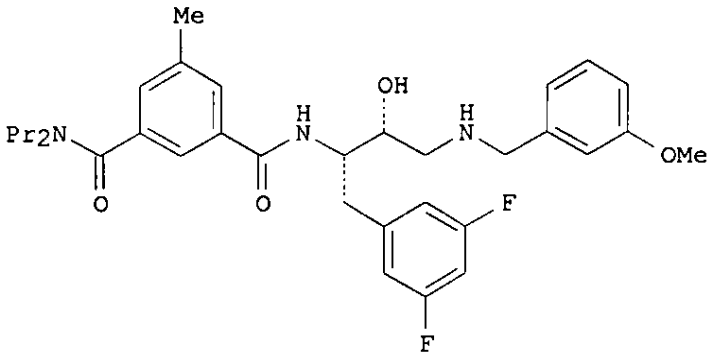


II

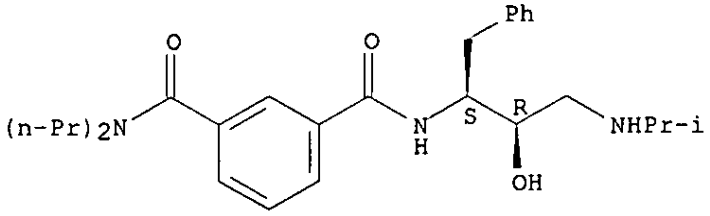
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepared Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 μM against beta-secretase.

IT **388062-20-2P 388062-33-7P 388062-99-5P**
388063-39-6P 388065-53-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amines for treating Alzheimer's disease)

RN 388062-20-2 CAPLUS

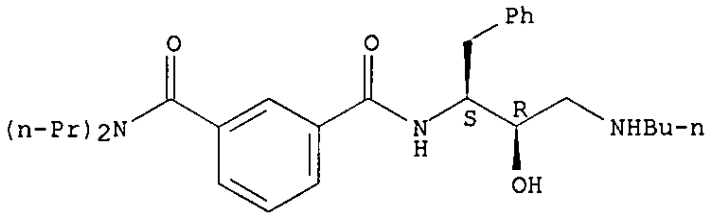
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



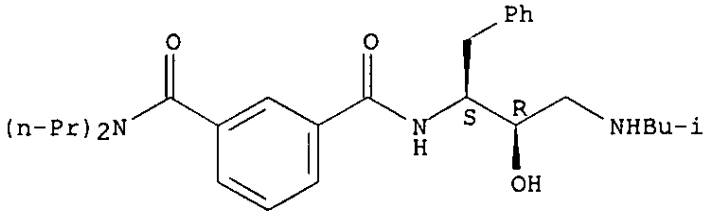
RN 388062-33-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(butylamino)-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



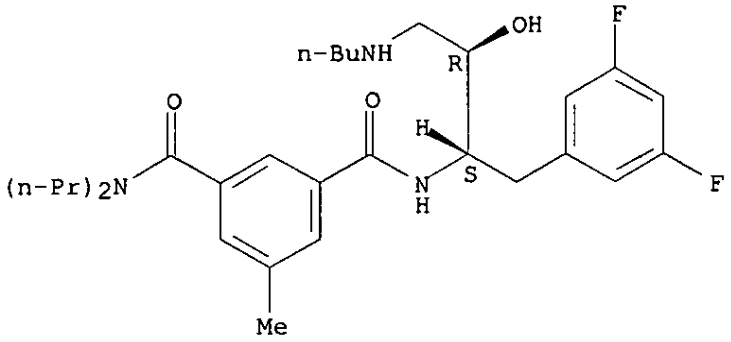
RN 388062-99-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



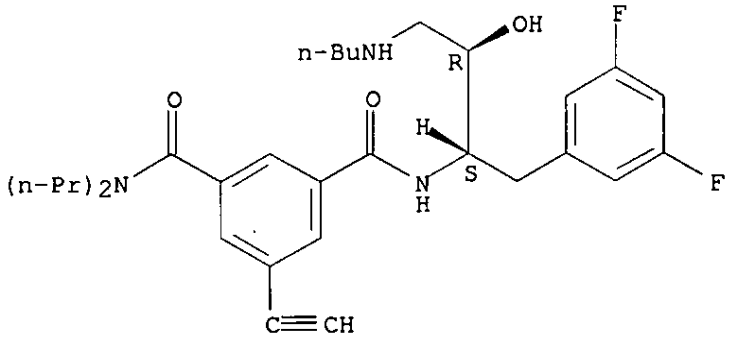
RN 388063-39-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(butylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388065-53-0 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(butylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]-5-ethynyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d l6 1-13 ibib abs hitstr

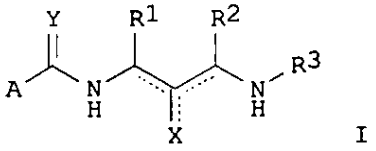
L6 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143093 CAPLUS
DOCUMENT NUMBER: 140:181220
TITLE: Preparation of benzamide derivatives as β-secretase inhibitors
INVENTOR(S): Uchikawa, Osamu; Aso, Kazuyoshi; Koike, Tatsuki; Tarui, Naoki; Hirai, Keisuke
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014843	A1	20040219	WO 2003-JP10045	20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-233231 A 20020809
GI



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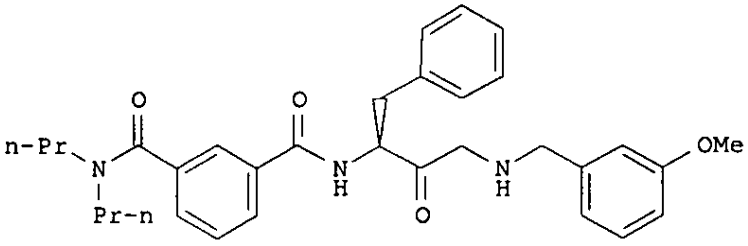


II

AB The title compds. I [wherein A = (un)substituted aryl; R1 = (un)substituted aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl, cycloalkyl, or cycloalkylalkyl; R2 = H, (un)substituted aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl, or cycloalkyl; R3 = (un)substituted arylalkyl, heteroarylalkyl, or alkyl; X = O, S, or (un)substituted NH; Y = O or S; with exclusions] or prodrugs or salts thereof are prepared as β-secretase inhibitors. For example, the compound II•HCl was

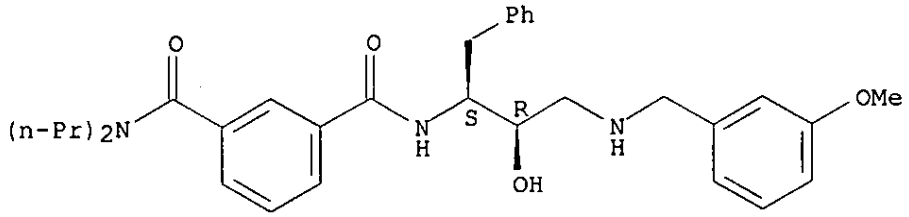
prepared in a multi-step synthesis. II•HCl showed inhibitory activity with IC50 of 0.099 μM against human β-secretase. I are useful for the treatment of neurodegenerative disease, neuropathy, memory disorder, psychiatric disorder, etc. (no data). Formulations containing I as an active ingredient were also described.

IT **388062-23-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzamide derivs. as β-secretase inhibitors)

RN 388062-23-5 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:2867 CAPLUS
DOCUMENT NUMBER: 140:59634
TITLE: Process for preparing 5-(1,3-oxazol-2-yl)benzoic acid derivatives
INVENTOR(S): Reeder, Michael R.; Imbordino, Rick J.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000821	A1	20031231	WO 2003-US19585	20030620

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-390285P P 20020620
US 2003-450478P P 20030227

OTHER SOURCE(S): CASREACT 140:59634; MARPAT 140:59634
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are compds. of formula (I) [R1 = C1-6 alkoxy, OH; R2, R3 = H, Ph, C1-4 alkyl; or R2 and R3 and the carbons to which they are attached form a benzo ring, which is optionally substituted with C1-4 alkyl, C1-4 alkoxy, or dialkylamino; R6 = C1-6 alkoxy or NR4R5; R4, R5 = C1-6 alkyl] and a process to prepare the compound I, by coupling a zinc chloride/optionally substituted oxazole adduct (II) (R2, R3 = same as above) and an compound of formula (III) (X = Br, iodo, OSO2CF3, OSO2Me) in the presence of a transition metal catalyst. The compds. I are used to prepare compds. of formula (IV) [R2, R3, R6 = same as above; R10 = R10 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl), or each (un)substituted C1-10 alkyl, C2-6 alkenyl, or C2-6 alkynyl, aryl, heteroaryl, heterocyclyl, C1-6-alkylaryl, C1-6 alkylheteroaryl, or C1-6 alkylheterocyclyl, where the ring portions of each are optionally substituted; R20, R30 = H, each (un)substituted C1-6 alkyl, CONH2, or SO2NH2, (CH2)0-4-aryl, (CH2)0-4-heteroaryl, C2-6 alkenyl, C2-6 alkynyl, CO2H, CO2-(C1-4 alkyl); or R20, R30 and the carbon to which they are attached form a C3-7 carbocycle, wherein one carbon atom is optionally replaced by a group selected from O, S, SO2, or (un)substituted NH; Rc = H, (CR245R250)0-4-aryl, (CR245R250)0-4-heteroaryl, (CR245R250)0-4-heterocyclyl, (CR245R250)0-4-arylheteroaryl, (CR245R250)0-4-arylheterocyclyl, (CR245R250)0-4-arylaryl, (CR245R250)0-4-heteroarylaryl, (CR245R250)0-4-heteroarylheterocyclyl, (CR245R250)0-4-heteroarylheteroaryl, etc.; R245, R250 = H, C1-4 alkyl, C1-4 alkylaryl, C1-4 alkylheteroaryl, C1-4 hydroxyalkyl, C1-4 alkoxy, C1-4 haloalkoxy, (CH2)0-4-C3-7 cycloalkyl, Ph, etc.; or R245 and R250 are taken together with the carbon to which they are attached to form a C3-7 carbocycle, where one carbon atom is optionally replaced by a heteroatom selected from O, S, SO2, and (un)substituted NH] in the treatment of Alzheimer's disease and related conditions. Thus, BuLi (1.4 equiv) was added dropwise over 30 min to a stirred, cooled (-78°) mixture of 1,3-oxazole (1.3 equiv) in THF, while maintaining the mixture at a temperature bellow about -55°, stirred for 30 min, treated with solid ZnCl2 (3 equiv) in 3-10 portions over about 10-15 min, allowed to warm to 20-25°, and stirred for an addnl. 10 min to give a solution of 2-oxazolylzinc chloride. The latter zinc chloride adduct was added over a period of 2 h to a mixture of Me 3-bromo-5-[(dipropylamino)carbonyl]benzoate (V) and tetrakis(triphenylphosphine) palladium (5 mol%) in THF at 50°, and stirred at 50° until no V was observed by HPLC (usually about 1 h) to give, after workup and silica gel chromatog., Me 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate (VI). VI was saponified by NaOH in aqueous MeOH and acidified with concentrated HCl to give 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic acid which was treated with CDI in THF at room temperature for 1 h, added slowly over to a cooled (-35°) mixture of (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-ethylbenzyl)amino]butan-2-ol in THF, warmed to 0°, and stirred until the completion of the reaction was observed by HPLC to give, after workup and silica gel chromatog., N1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-5-(1,3-oxazol-2-yl)-N3,N3-dipropylisophthalamide (VII).

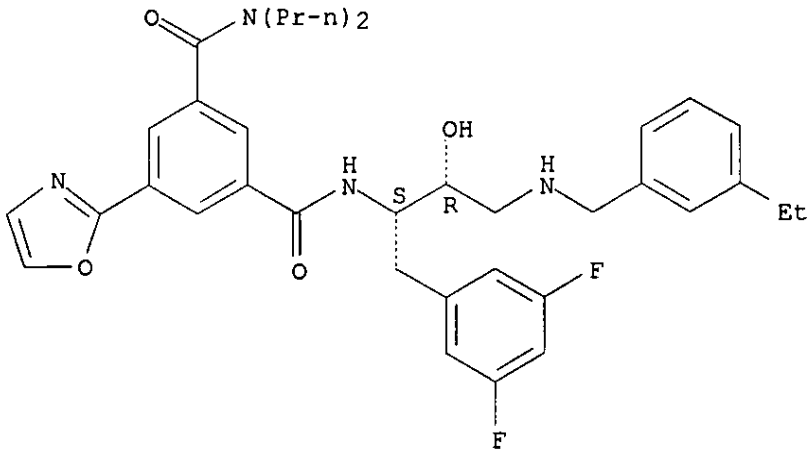
IT **527716-71-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for preparing oxazolylbenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

RN 527716-71-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-5-(2-oxazolyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:696859 CAPLUS

DOCUMENT NUMBER: 139:230480

TITLE: Preparation of substituted amines prodrugs useful in treating Alzheimer's disease

INVENTOR(S): Varghese, John; Jagodzinska, Barbara; Maillard, Michel; Beck, James P.; Tenbrink, Ruth E.; Getman, Daniel

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

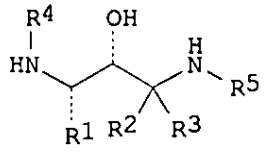
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072535	A2	20030904	WO 2003-US7287	20030227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-359953P P 20020227
OTHER SOURCE(S): MARPAT 139:230480
GI



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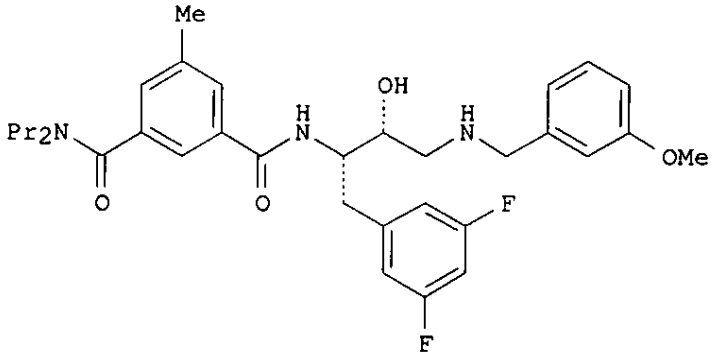


II

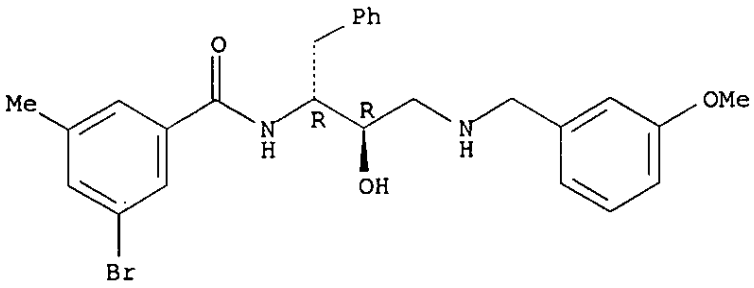
AB Amines [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.; e.g. N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide], useful in treating Alzheimer's disease and other similar diseases, were prepared Although the methods of preparation are not claimed, hundreds of example prepns. are included. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II (N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide). The compds. I exhibit an IC50 of < 50 μM against β-secretase.

IT **388066-36-2P**, N-[(1R,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-bromo-5-methylbenzamide **388071-98-5P**, N-[(1S,2R)-1-[4-(Benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-[4-(benzyloxy)butyl]-5-methyl-N'-propylisophthalamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

RN 388066-36-2 CAPLUS

CN Benzamide, 3-bromo-N-[(1R,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-methyl- (9CI) (CA INDEX NAME)

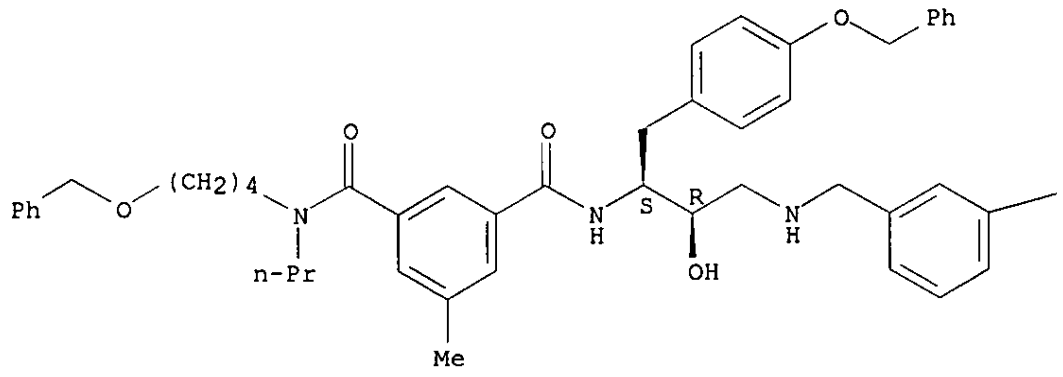
Absolute stereochemistry.



RN 388071-98-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-[[4-(phenylmethoxy)phenyl]methyl]propyl]-5-methyl-N-[4-(phenylmethoxy)butyl]-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—OMe

IT **388062-16-6P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388062-17-7P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(2-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388062-19-9P**, N-[(1S,2R)-1-Benzyl-3-(benzylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-21-3P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-toluidino)propyl]-N',N'-dipropylisophthalamide **388062-22-4P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-23-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-26-8P**, N-[(1S,2R)-1-Benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-27-9P**,

N-[(1S,2R)-1-Benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-29-1P**, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-31-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-34-8P**, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-35-9P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(2-pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-36-0P**, N-[(1S,2R)-3-[(2-Aminobenzyl)amino]-1-benzyl-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-37-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(3-pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-38-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(1-pyrrolidinyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-43-9P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-48-4P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-49-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-51-9P**, N-[(1S,2R)-1-Benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-52-0P**, N-[(1S,2R)-1-Benzyl-3-[[2-(4-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-53-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-pyridinyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-54-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(4-pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-56-4P**, N-[(1S,2R)-1-Benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-57-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-58-6P**, N-[(1S,2R)-1-Benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-59-7P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-60-0P**, N-[(1S,2R)-1-Benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-61-1P**, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-62-2P**, N-[(1S,2R)-1-Benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-63-3P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-64-4P**, N-[(1S,2R)-3-[[2-[4-(Aminosulfonyl)phenyl]ethyl]amino]-1-benzyl-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-65-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-66-6P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-67-7P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-68-8P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-69-9P**, N-[(1S,2R)-1-Benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-70-2P**, N-[(1S,2R)-1-Benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-71-3P**, N-[(1S,2R)-1-Benzyl-3-[[([1,1'-biphenyl]-3-yl)methyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-72-4P**, N-[(1S,2R)-1-Benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-73-5P**, N-[(1S,2R)-1-Benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-74-6P**,

N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-75-7P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-78-0P**, N-[(1S,2R)-1-Benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-79-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-82-6P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388062-83-7P**, N-[(1S,2R)-1-Benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-88-2P**, N-[(1S,2R)-1-Benzyl-3-[[2-(2-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-89-3P**, N-[(1S,2R)-1-Benzyl-3-[[2-(3-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-90-6P**, N-[(1S,2R)-1-Benzyl-3-[[2-(4-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-91-7P**, N-[(1S,2R)-1-Benzyl-3-[[2-(4-bromophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-92-8P**, N-[(1S)-1-Benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-93-9P**, N-[(1S,2R)-1-Benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-94-0P**, N-[(1S,2R)-1-Benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-95-1P**, N-[(1S)-1-Benzyl-3-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388062-96-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methylphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide **388062-98-4P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(4-morpholinyl)propyl]amino]propyl]-N',N'-dipropylisophthalamide **388063-00-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-morpholinyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide **388063-02-3P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-thienyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide **388063-05-6P**, N-[(1S,2R)-1-Benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-07-8P**, N-[(1S,2R)-1-Benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-09-0P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[((1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl)amino]propyl]-N',N'-dipropylisophthalamide **388063-10-3P**, N-[(1S,2R)-1-Benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-18-1P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-22-7P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[4-(dimethylamino)benzyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-26-1P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(3-pyridinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-32-9P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-38-5P**, N-[(1S,2R)-3-(Cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-43-2P**, N-[(1S,2R)-3-[(3-Chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-44-3P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(2-propylpentyl)sulfonyl]benzamide **388063-45-4P**, N-[(1S,2R)-3-[[([1,1'-Biphenyl]-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-46-5P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N',N'-

dipropylisophthalamide **388063-47-6P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-49-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(1,3-thiazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-50-1P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(2-thienyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-51-2P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-52-3P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(2-pyrazinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-53-4P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-54-5P**, N-[(1S,2R)-3-[[(1,3-Benzodioxol-5-yl)methyl]amino]-1-benzyl-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-55-6P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-56-7P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-57-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-58-9P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-59-0P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-60-3P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-61-4P**, N-[(1S,2R)-3-[(3-Bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-62-5P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(5-methyl-2-furyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-64-7P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N',N'-dipropylisophthalamide **388063-65-8P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-66-9P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N',N'-dipropylisophthalamide **388063-68-1P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N',N'-dipropylisophthalamide **388063-72-7P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(4-morpholinyl)carbonyl]benzamide **388063-73-8P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388063-80-7P**, 3-Benzoyl-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide **388063-81-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl][1,1'-biphenyl]-3-carboxamide **388063-82-9P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N'-(2-methoxyethyl)-N'-propylisophthalamide **388063-83-0P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-ethoxybenzamide **388063-84-1P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-naphthamide **388063-85-2P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[((1R)-1,2,3,4-tetrahydronaphthalen-1-yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388063-86-3P**, N-[(1R)-3-[[3,5-Bis(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388063-87-4P**,

N-[(1S,2R)-1-Benzyl-3-[[2-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-88-5P**, N-[(1S,2R)-1-Benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-89-6P**, N-[(1S,2R)-1-Benzyl-3-[[3-fluoro-4-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-90-9P**, N-[(1S,2R)-1-Benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-91-0P**, N-[(1S,2R)-1-Benzyl-3-[[3-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-92-1P**, N-[(1S,2R)-1-Benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-93-2P**, N-[(1S,2R)-1-Benzyl-3-[[4-fluoro-3-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-94-3P**, N-[(1S,2R)-1-Benzyl-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-95-4P**, N-[(1S,2R)-1-Benzyl-3-[[4-chloro-3-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-96-5P**, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-97-6P**, N-[(1S)-1-Benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388063-98-7P**, N-[(1S,2R)-1-Benzyl-3-[[3-(difluoromethoxy)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388063-99-8P**, N-[(1S,2R)-1-Benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388064-00-4P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(5-methyl-2-pyrazinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide **388064-01-5P**, N-[(1S,2R)-1-Benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388064-02-6P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-03-7P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-05-9P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-06-0P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(4-methyl-1,3-thiazol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-07-1P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N'-methyl-N'-propylisophthalamide **388064-13-9P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[((1R)-7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-14-0P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[((1S)-7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-15-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(dimethylamino)benzamide **388064-16-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide **388064-17-3P**, 3-(Aminosulfonyl)-N-[(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chlorobenzamide **388064-18-4P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-cyanobenzamide **388064-19-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chloro-3-nitrobenzamide **388064-20-8P**, Methyl 3-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]carbonyl]-5-nitrobenzoate **388064-21-9P**, tert-Butyl [3-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]carbonyl]phenyl]carbamate **388064-22-0P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-

anthracenecarboxamide **388064-23-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide **388064-24-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide **388064-25-3P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-indole-5-carboxamide **388064-26-4P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-fluoro-5-(trifluoromethyl)benzamide **388064-27-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(trifluoromethyl)benzamide **388064-28-6P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(butylamino)benzamide **388064-29-7P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(trifluoromethoxy)benzamide **388064-30-0P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-dimethoxybenzamide **388064-31-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-dimethylbenzamide **388064-32-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-difluorobenzamide **388064-33-3P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-dichlorobenzamide **388064-34-4P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(benzyloxy)benzamide **388064-35-5P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-benzodioxole-5-carboxamide **388064-36-6P**, 3-(Acetylamino)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide **388064-37-7P**, 4-(Acetylamino)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide **388064-38-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(3,5-dimethyl-4-isoxazolyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-39-9P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-40-2P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(3-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-42-4P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-43-5P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(2-pyridinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-44-6P**, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N',N'-dipropylisophthalamide **388064-46-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[((1S)-1,2,3,4-tetrahydronaphthalen-1-yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-47-9P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-48-0P**, N-[(1S,2R)-3-[[2-Chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-49-1P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-50-4P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[((1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-51-5P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-((1R)-2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-53-7P**, N-[(1S,2R)-3-[[(1-Benzofuran-2-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-55-9P**, N-[(1S,2R)-1-(4-Fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-56-0P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[butyl(butyryl)amino]-5-methylbenzamide **388064-57-1P**, N-[1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N',N'-

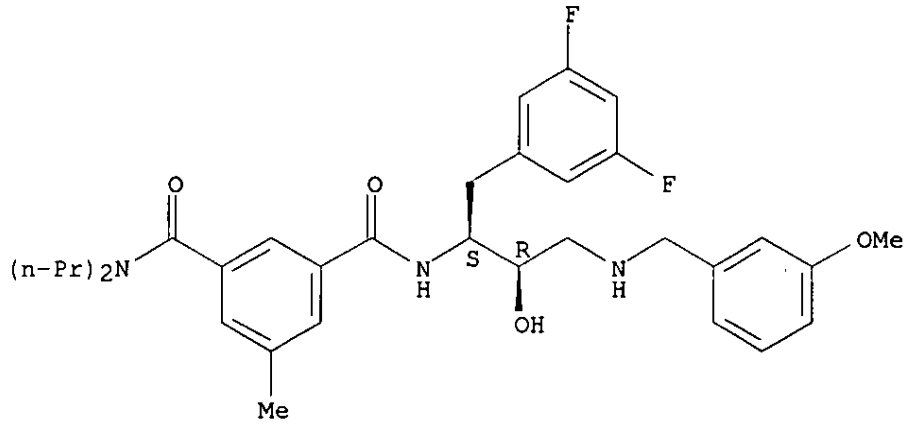
dipropylisophthalamide **388064-58-2P**, N'-[1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N,N-dipropylisophthalamide **388064-59-3P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N',N'-dipropylisophthalamide **388064-60-6P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-butyl-1H-indole-6-carboxamide **388064-61-7P**, N-[(1S,2R)-3-Anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388064-62-8P**, 5-Bromo-N-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropylisophthalamide **388064-65-1P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388064-66-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N',N'-dipropylisophthalamide hydrochloride **388064-67-3P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide **388064-70-8P**, 5-(Aminosulfonyl)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide **388064-71-9P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-[(1-pyrrolidinyl)sulfonyl]isophthalamide **388064-72-0P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(methylamino)sulfonyl]-N',N'-dipropylisophthalamide **388064-73-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(dimethylamino)sulfonyl]-N',N'-dipropylisophthalamide **388064-96-8P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-ethyl-N',N'-dipropylisophthalamide **388064-97-9P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-isobutyl-N',N'-dipropylisophthalamide **388064-98-0P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-tert-butyl-N',N'-dipropylisophthalamide **388064-99-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N'-propylisophthalamide **388065-00-7P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide **388065-01-8P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dimethyl-N'',N''-dipropyl-1,3,5-benzenetricarboxamide **388065-04-1P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-propyl-1,3,5-benzenetricarboxamide **388065-05-2P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(butyryl)(propyl)amino]-5-methylbenzamide **388065-06-3P**, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-propyl-1H-indole-6-carboxamide **388065-07-4P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-propyl-1H-indole-6-carboxamide **388065-08-5P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-09-6P**, N-[(1S,2R)-3-[(3-Aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-13-2P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[((1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-14-3P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylbenzamide **388065-15-4P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1H-isoindol-3-yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-16-5P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[((1R,2S,5R)-2-isopropyl-5-methylcyclohexyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-19-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-

hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-20-1P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-21-2P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(4,5-dimethyl-2-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-22-3P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-23-4P**, N-[(1S,2R)-3-(Cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-24-5P**, N-[(1S,2R)-3-[(Cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide **388065-27-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-29-0P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-30-3P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(3-methyl-2-furyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-31-4P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[((2S)-tetrahydrofuran-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-33-6P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-36-9P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide **388065-37-0P**, Methyl 4-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]methyl]benzoate **388065-39-2P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(5-isoxazolyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-42-7P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-43-8P**, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide **388065-44-9P**, 4-(Butyrylamino)-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

RN 388062-16-6 CAPLUS

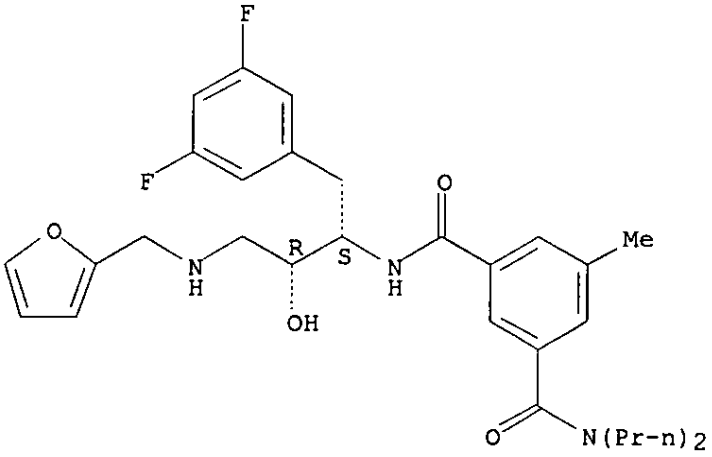
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



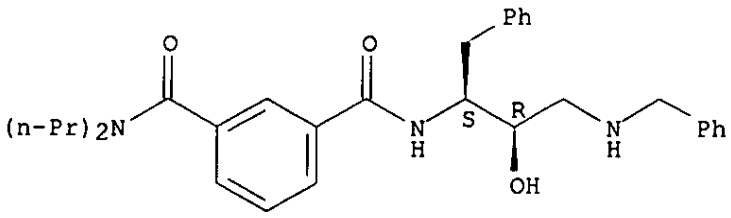
RN 388062-17-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(2-furanylmethyl)amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388062-19-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)amino]propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

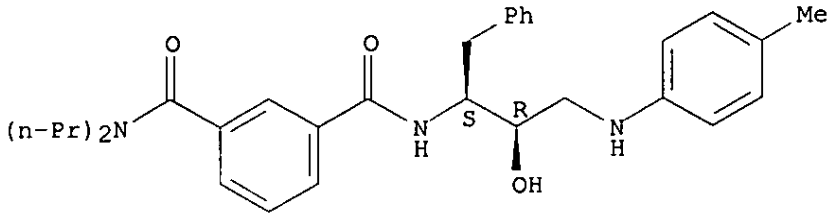
Absolute stereochemistry.



RN 388062-21-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(4-methylphenyl)amino]-

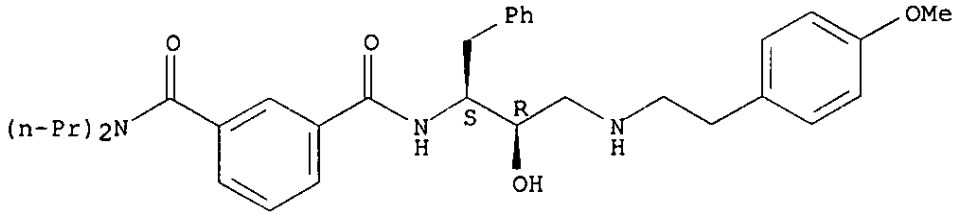
1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



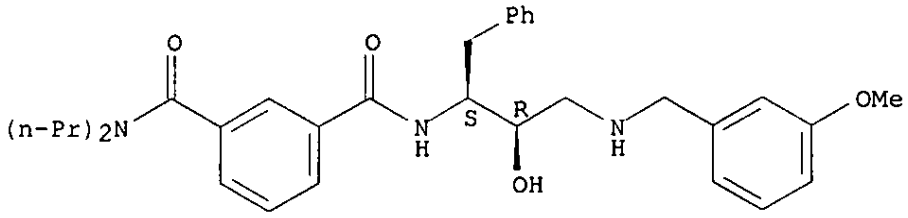
RN 388062-22-4 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



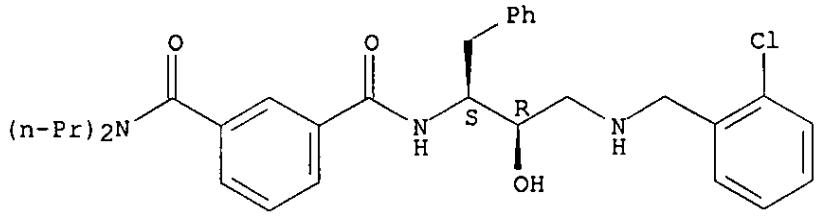
RN 388062-23-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



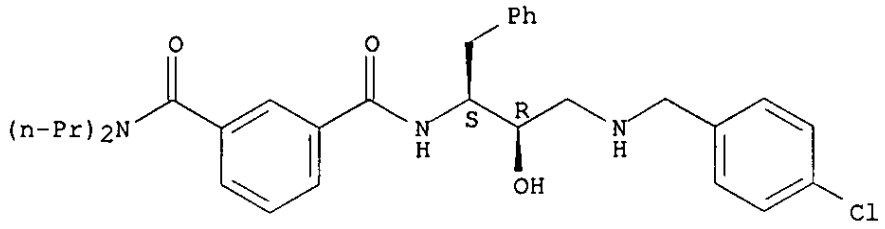
RN 388062-26-8 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[[(2-chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



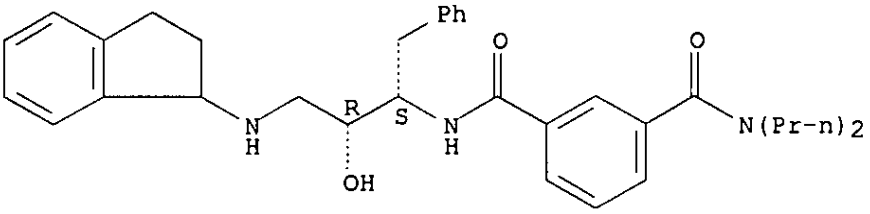
RN 388062-27-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[[(4-chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



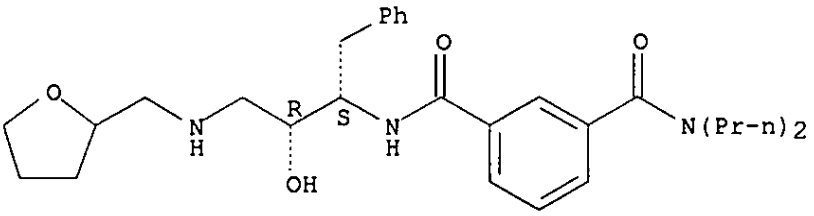
RN 388062-29-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[(2,3-dihydro-1H-inden-1-yl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388062-31-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[(tetrahydro-2-furanyl)methyl]amino]propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

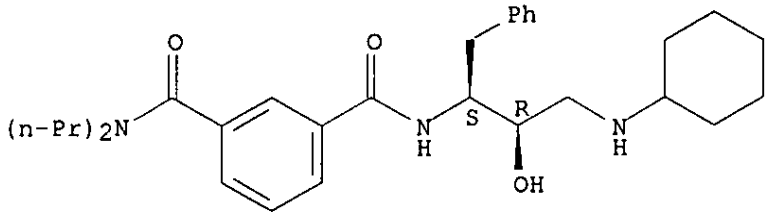
Absolute stereochemistry.



RN 388062-34-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:472477 CAPLUS
DOCUMENT NUMBER: 139:52753
TITLE: Preparation of substituted hydroxyethylamines as β-secretase inhibitors
INVENTOR(S): Tenbrink, Ruth; Maillard, Michel; Warpehoski, Martha
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050073	A1	20030619	WO 2002-US39050	20021206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004044072	A1	20040304	US 2002-313849	20021206

PRIORITY APPLN. INFO.: US 2001-338452P P 20011206
OTHER SOURCE(S): MARPAT 139:52753
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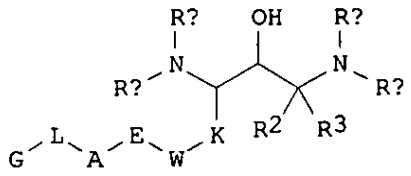

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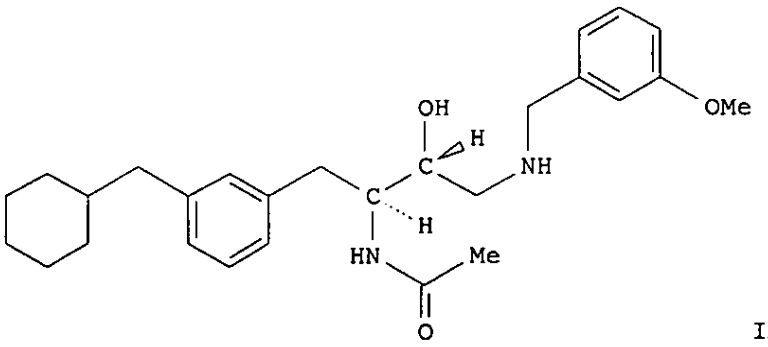


II

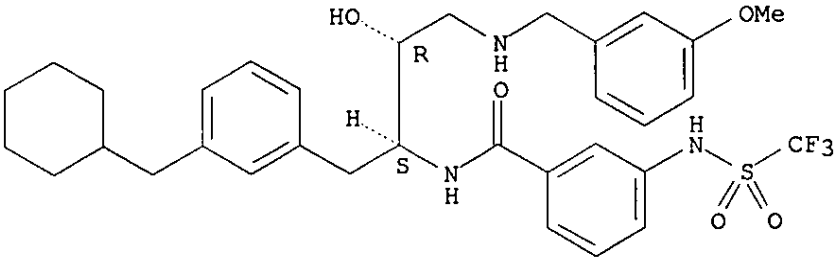
AB Title compds. I [E = bond, alkylene; RA = H, benzyloxycarbonyl; RD = H, alkoxycarbonyl; K = (un)substituted alkyl; A = aryl, cycloalkyl, heteroaryl, etc.; W = bond, SO0-2, (un)substituted amino; L = bond, absent, etc.; G = absent, alkyl, cycloalkyl, etc.; R2-3 = H, alkyl, aryl, etc.; RN = Ph naphthyl, tetralinyl, etc.; RC = heteroaryl, etc.] are prepared as β-secretase inhibitors. For instance, N-[(1S,2R)-1-[3-(cyclohexylmethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]acetamide (II) isolated as the HCl salt is prepared in several steps. The key intermediate in the synthesis is derived from the asym. hydrogenation of Me 2-[[(benzyloxy)carbonyl]amino]-3-(2-bromophenyl)acrylate (preparation given) to give the corresponding phenylalanine analog intermediate. I are useful for the treatment of Alzheimer's disease.

IT **527722-73-2P 527722-74-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted hydroxyethylamines as β-secretase inhibitors)

RN 527722-73-2 CAPLUS

CN Benzamide, N-[(1S,2R)-1-[[3-(cyclohexylmethyl)phenyl]methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-3-[[(trifluoromethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

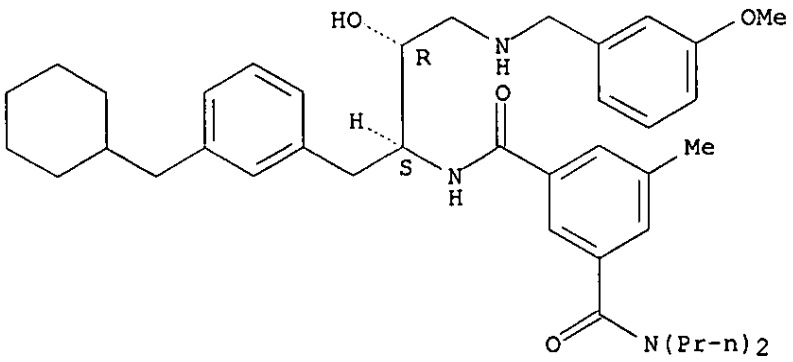
Absolute stereochemistry.



RN 527722-74-3 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[[3-(cyclohexylmethyl)phenyl]methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

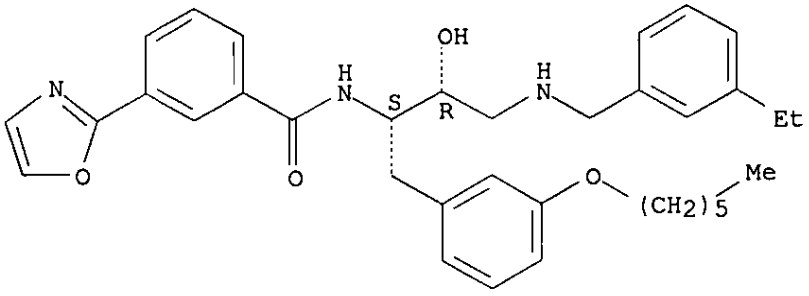


IT **527730-33-2P 546115-11-1P 546115-12-2P**

546115-31-5P 546115-32-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted hydroxyethylamines as β-secretase inhibitors)

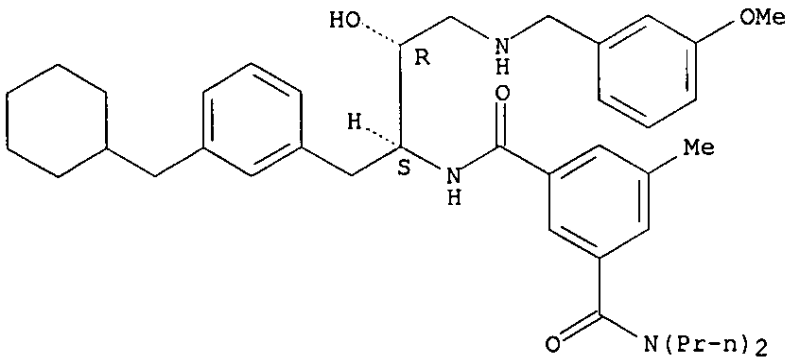
RN 527730-33-2 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-ethylphenyl)methyl]amino]-1-[[3-(hexyloxy)phenyl]methyl]-2-hydroxypropyl]-3-(2-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 546115-11-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[[3-(cyclohexylmethyl)phenyl]methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)

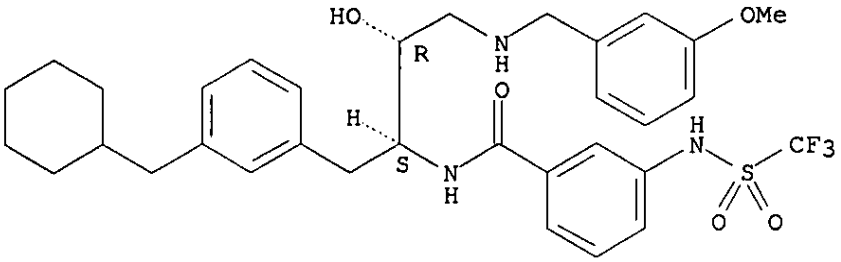
Absolute stereochemistry.



● HCl

RN 546115-12-2 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[[3-(cyclohexylmethyl)phenyl]methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-3-[[(trifluoromethyl)sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

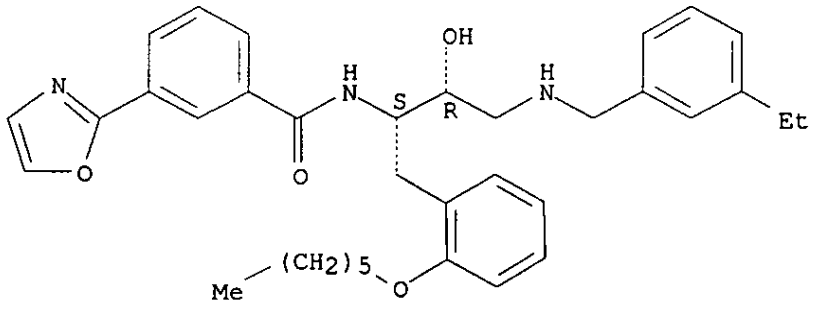
Absolute stereochemistry.



● HCl

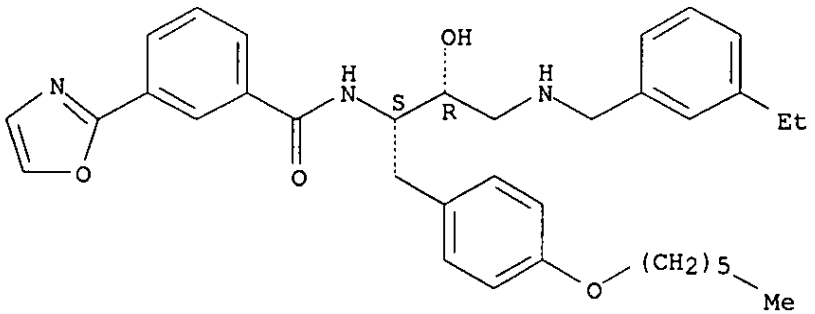
RN 546115-31-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-ethylphenyl)methyl]amino]-1-[[2-(hexyloxy)phenyl]methyl]-2-hydroxypropyl]-3-(2-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 546115-32-6 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-ethylphenyl)methyl]amino]-1-[[4-(hexyloxy)phenyl]methyl]-2-hydroxypropyl]-3-(2-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILAB

L6 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:412801 CAPLUS
DOCUMENT NUMBER: 139:245782
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
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WO 2003040096	A2	20030515	WO 2002-US36072	20021108
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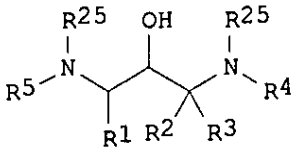
PRIORITY APPLN. INFO.:
US 2001-337122P P 20011108
US 2001-344086P P 20011228
US 2002-345635P P 20020103
WO 2002-US36072 A 20021108

OTHER SOURCE(S): MARPAT 139:245782
GI



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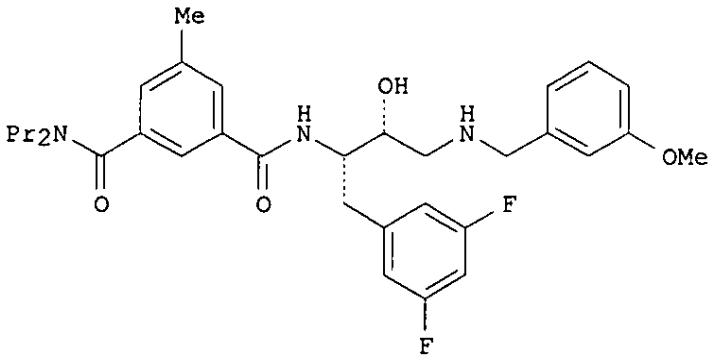


II

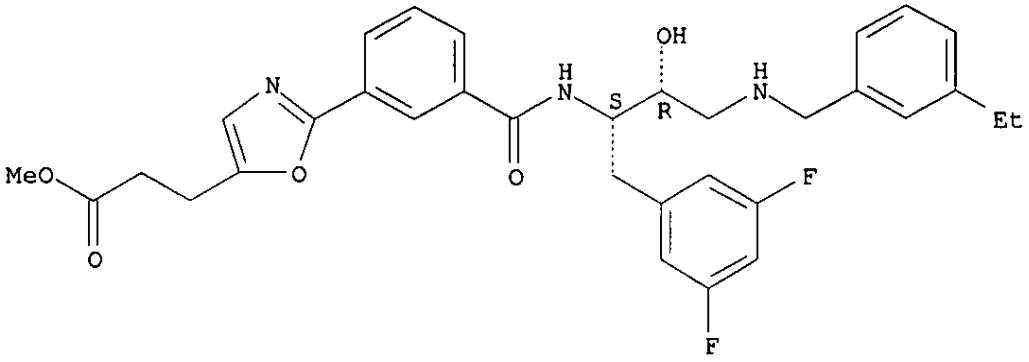
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 2 of 1-2 series.

IT **527728-59-2P 527731-65-3P 527733-02-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527728-59-2 CAPLUS

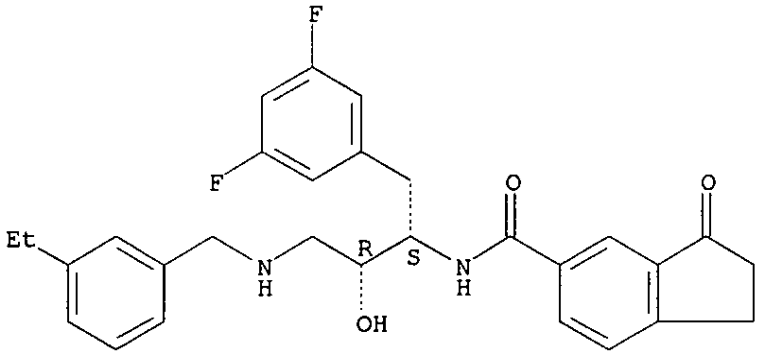
CN 5-Oxazolepropanoic acid, 2-[3-[[[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]amino]carbonyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



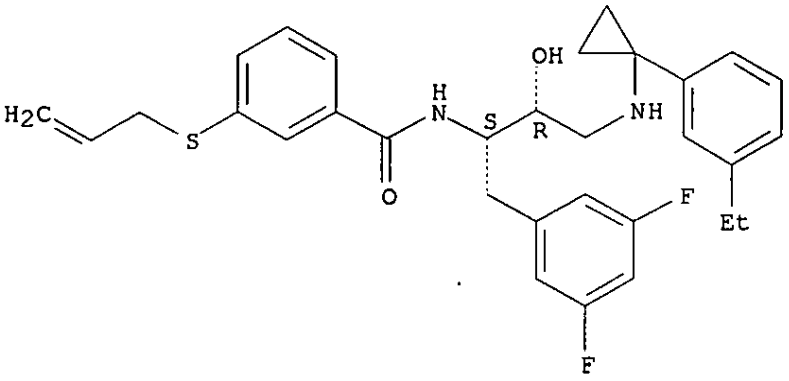
RN 527731-65-3 CAPLUS
CN 1H-Indene-5-carboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 527733-02-4 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-3-(2-propenylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **388062-16-6P 388062-17-7P 388064-67-3P 388064-70-8P 388064-96-8P 388065-05-2P**

388065-48-3P 388065-54-1P 388066-12-4P
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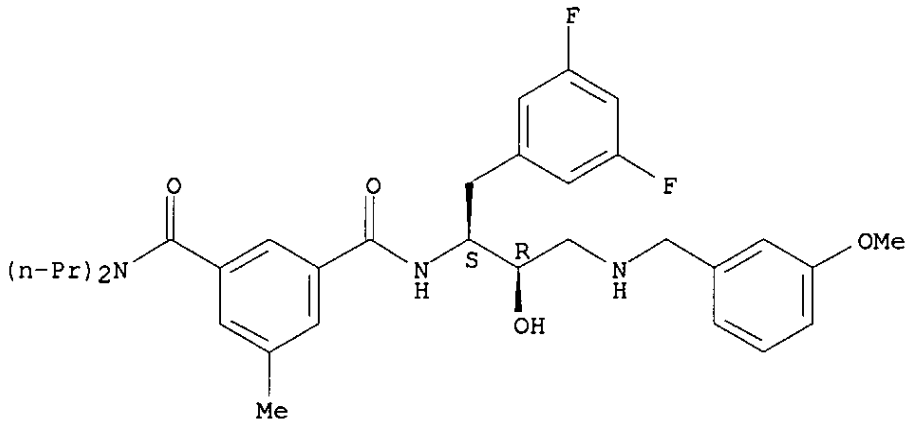
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

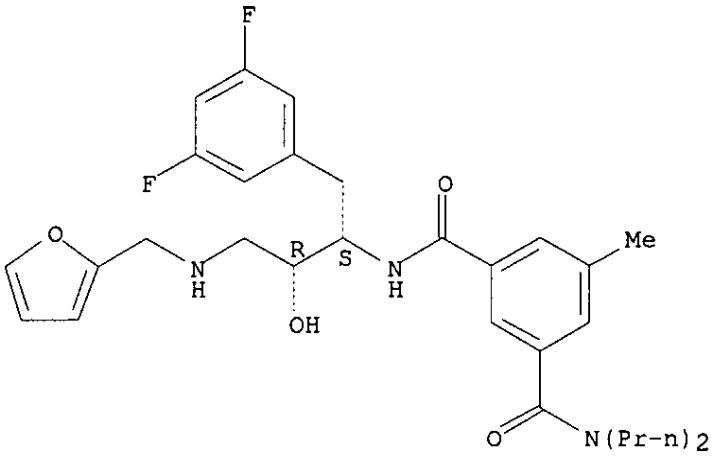
RN 388062-16-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



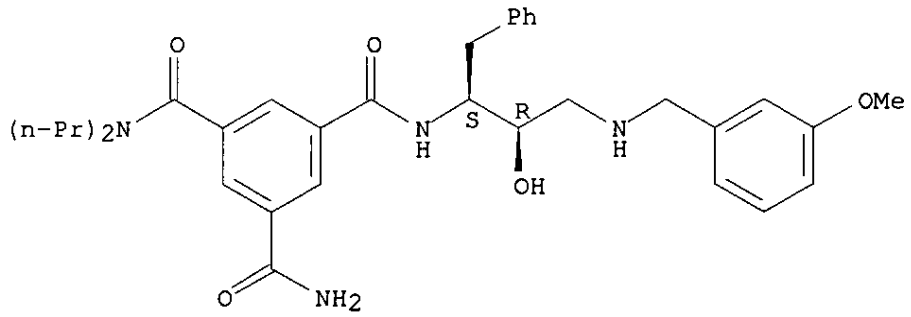
RN 388062-17-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(2-furanylmethyl)amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



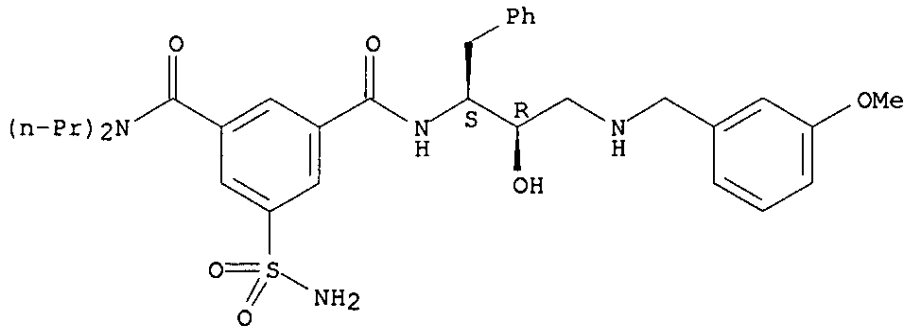
RN 388064-67-3 CAPLUS
CN 1,3,5-Benzenetricarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388064-70-8 CAPLUS
CN 1,3-Benzenedicarboxamide, 5-(aminosulfonyl)-N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

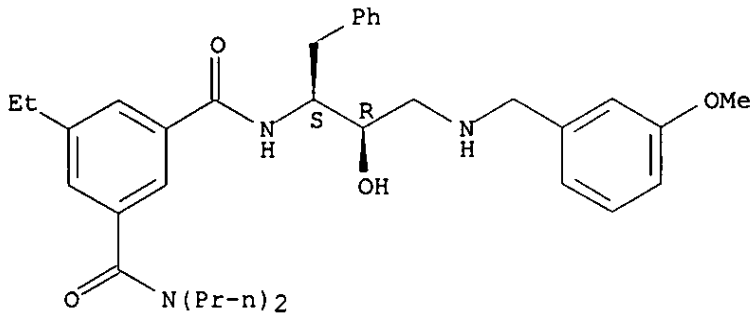
Absolute stereochemistry.



RN 388064-96-8 CAPLUS

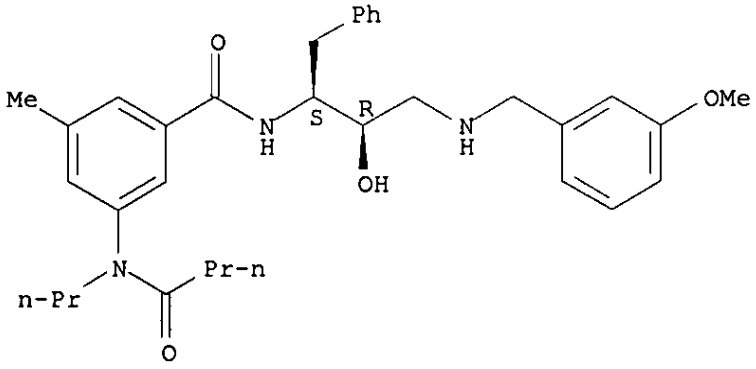
CN 1,3-Benzenedicarboxamide, 5-ethyl-N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



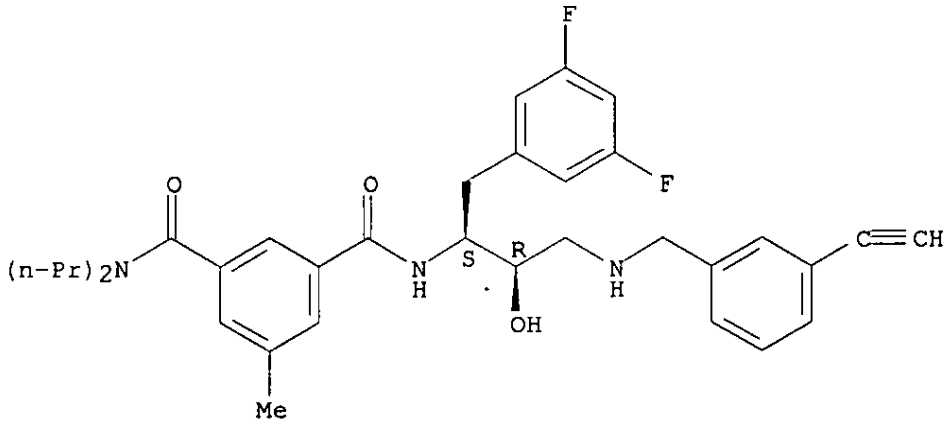
RN 388065-05-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-methyl-5-[(1-oxobutyl)propylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



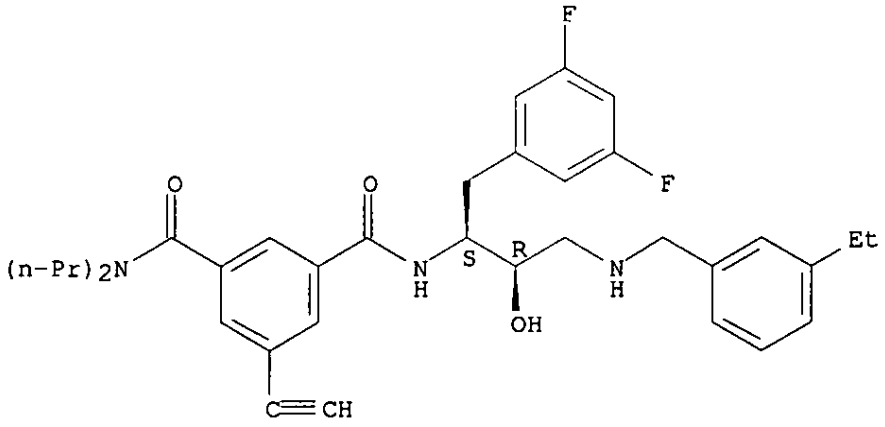
RN 388065-48-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethynylphenyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388065-54-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-5-ethynyl-N,N-dipropyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

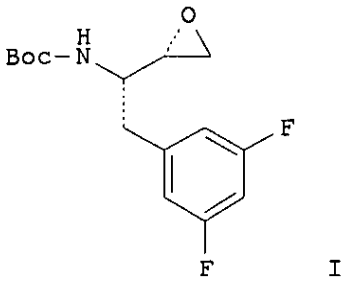


L6 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:832774 CAPLUS
DOCUMENT NUMBER: 137:325641
TITLE: Processes for the synthesis of amino acid-related benzyl epoxides used in the production of pharmaceutical agents
INVENTOR(S): Reeder, Michael R.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085877	A2	20021031	WO 2002-US12591	20020423
WO 2002085877	A3	20030306		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003004360	A1	20030102	US 2002-128122	20020423
EP 1381597	A2	20040121	EP 2002-728882	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-285772P P 20010423
WO 2002-US12591 W 20020423

OTHER SOURCE(S): CASREACT 137:325641; MARPAT 137:325641
GI



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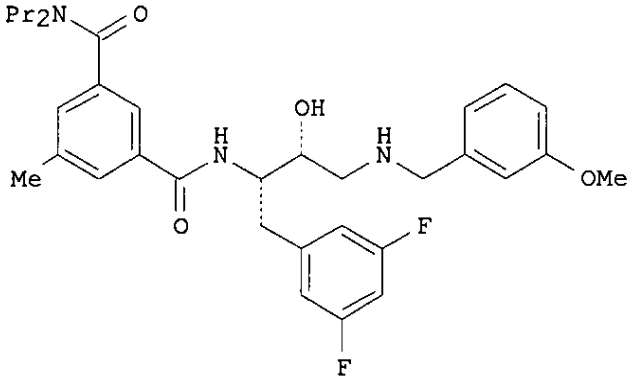


II

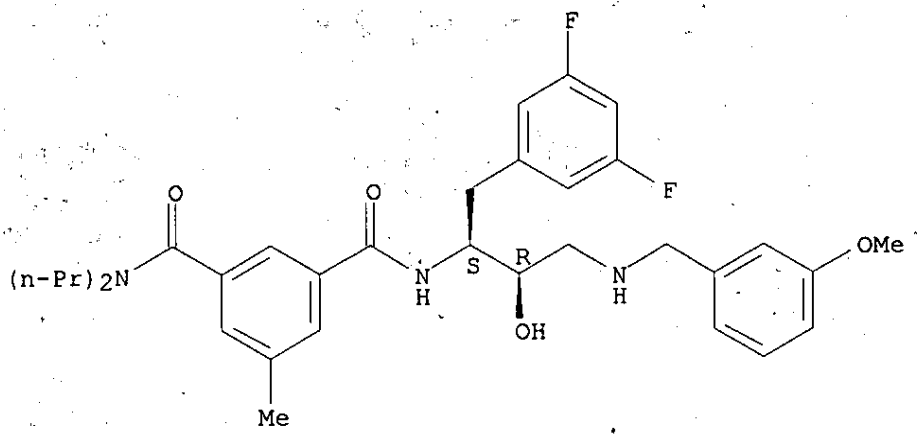
AB The invention provides amino acids R30NHCH(CH2R)CO2R1 [R = (un)substituted phenyl; R1 = allyl or (un)substituted alkyl, Ph, or benzyl; R30 = H or a protecting group], amino alcs. H2NCH(CH2R)CH(OH)CH2R2 [R2 = Cl, Br, trialkylsilyl, or tri-substituted aminosilyl], corresponding epoxides, and other intermediates used in the production of pharmaceutical agents. Thus, Boc-protected 3,5-difluoro-L-phenylalanine underwent sequential Me esterification, reaction with ClCH2I, borohydride reduction, and conversion to epoxide I (KOH/EtOH). Ring opening of I with 3-methoxybenzylamine, deprotection, and acylation with 5-methyl-N,N-dipropylisophthalamic acid afforded amino alc. derivative II.

IT **388062-16-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of amino acid-related benzyl epoxides for production of pharmaceuticals)

RN 388062-16-6 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

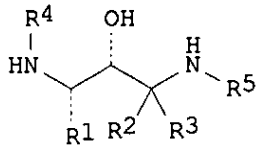

F
F
O
O
(n-Pr)2N
N
H
S
R
OH
N
H
OMe
Me

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:31402 CAPLUS
DOCUMENT NUMBER: 136:102190
TITLE: Preparation of substituted amines to treat Alzheimer's disease
INVENTOR(S): Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 651 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002512	A2	20020110	WO 2001-US21012	20010629
WO 2002002512	A3	20030821		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002128255	A1	20020912	US 2001-896139	20010629
BR 2001012000	A	20030603	BR 2001-12000	20010629
EP 1353898	A2	20031022	EP 2001-952378	20010629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502669	T2	20040129	JP 2002-507769	20010629
NO 2002006199	A	20030221	NO 2002-6199	20021223

PRIORITY APPLN. INFO.:
US 2000-215323P P 20000630
US 2000-252736P P 20001122
US 2000-255956P P 20001215
US 2001-268497P P 20010213
US 2001-279779P P 20010329
US 2001-295589P P 20010604
WO 2001-US21012 W 20010629

OTHER SOURCE(S): MARPAT 136:102190
GI



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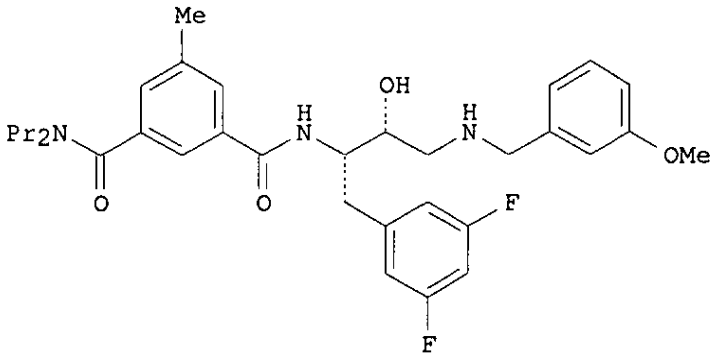


II

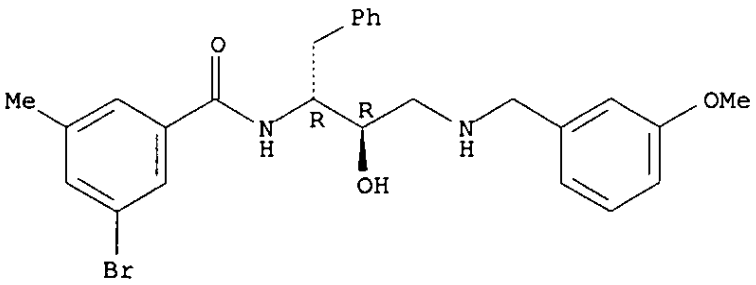
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepared Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 μM against beta-secretase.

IT **388066-36-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted amines for treating Alzheimer's disease)

RN 388066-36-2 CAPLUS

CN Benzamide, 3-bromo-N-[(1R,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **388062-16-6P 388062-17-7P 388062-19-9P**
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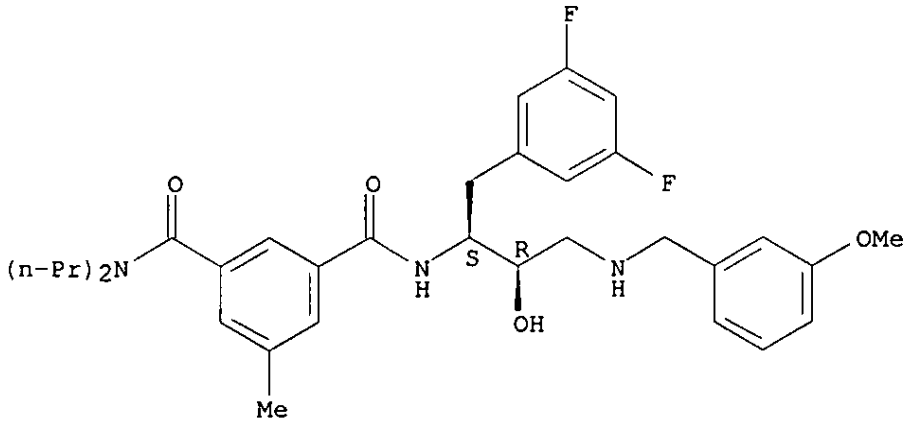
388065-82-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amines for treating Alzheimer's disease)

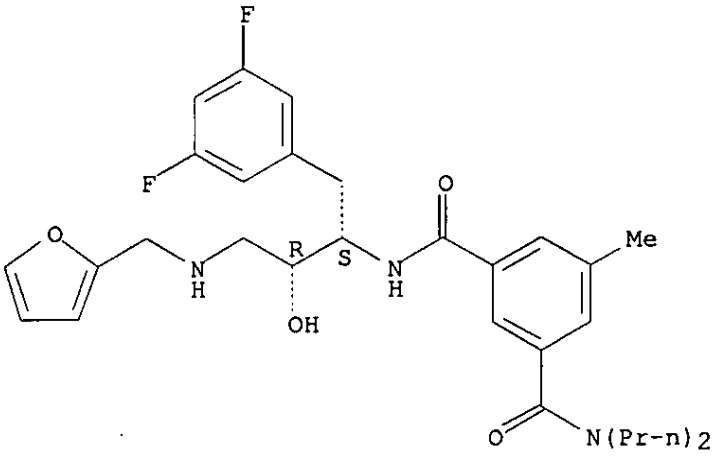
RN 388062-16-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



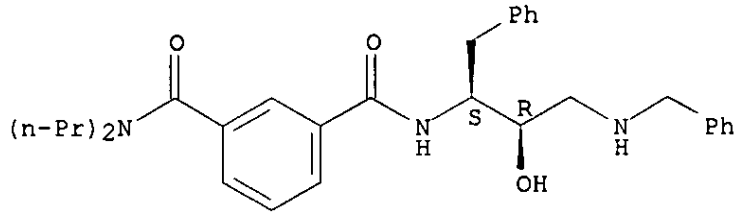
RN 388062-17-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(2-furanylmethyl)amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



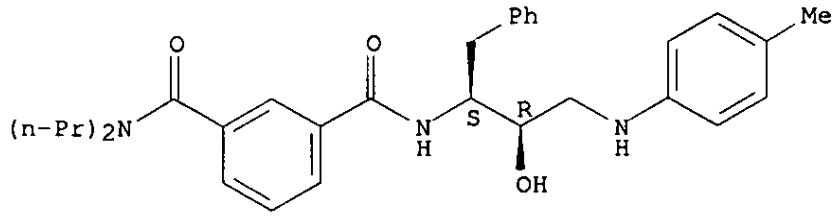
RN 388062-19-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)amino]propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



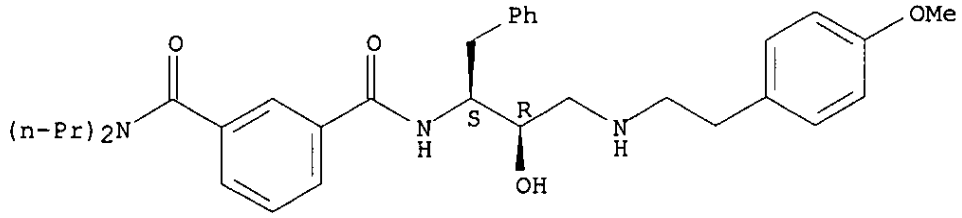
RN 388062-21-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(4-methylphenyl)amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



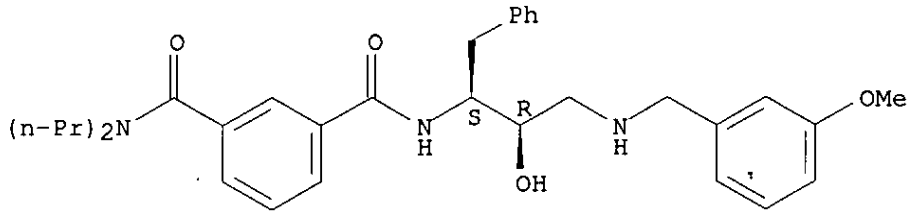
RN 388062-22-4 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



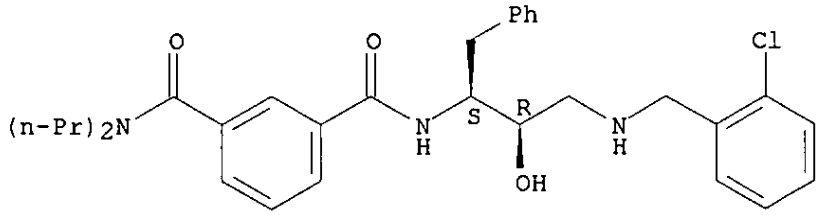
RN 388062-23-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



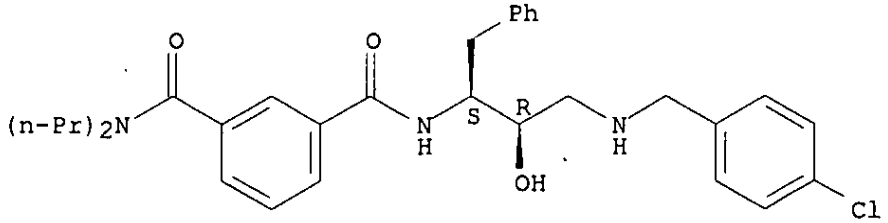
RN 388062-26-8 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[[(2-chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388062-27-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[[(4-chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

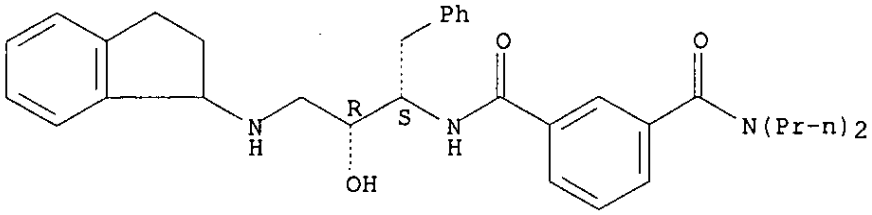
Absolute stereochemistry.



RN 388062-29-1 CAPLUS

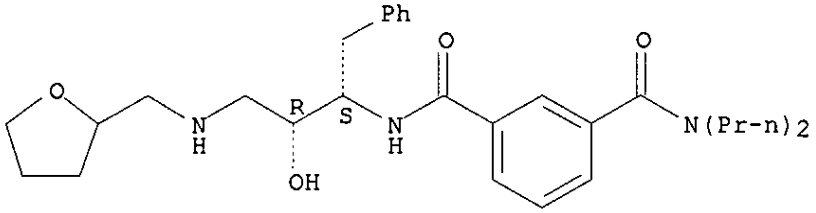
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-[(2,3-dihydro-1H-inden-1-yl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



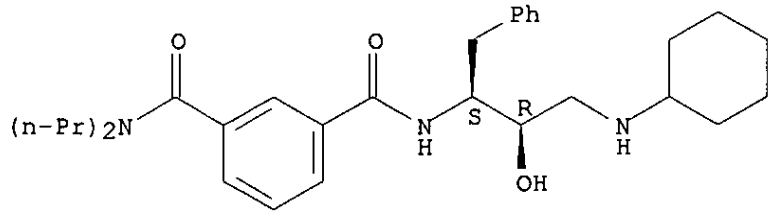
RN 388062-31-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[(tetrahydro-2-furanyl)methyl]amino]propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



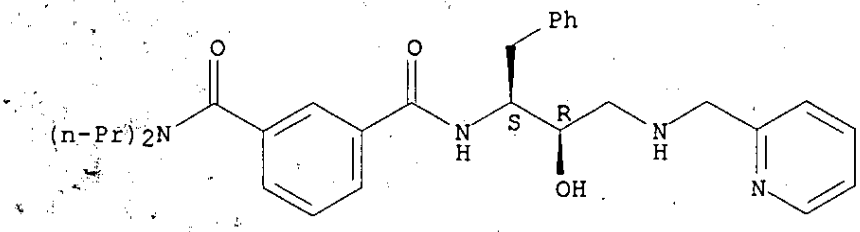
RN 388062-34-8 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-3-(cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388062-35-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(2-pyridinylmethyl)amino]propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

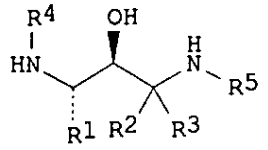

Ph
O
O
(n-Pr)2N
N
H
S
R
OH
N
H
N

L6 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:31396 CAPLUS
DOCUMENT NUMBER: 136:102189
TITLE: Preparation of substituted amines for treating Alzheimer's disease
INVENTOR(S): Fang, Lawrence Y.; Hom, Roy; John, Varghese; Maillaird, Michel
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

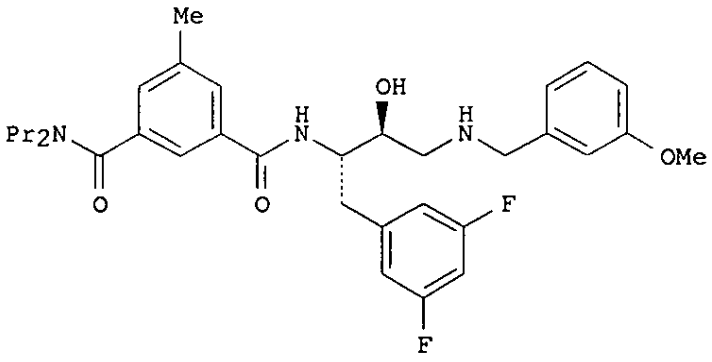
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002505	A2	20020110	WO 2001-US20852	20010629
WO 2002002505	A3	20020801		
WO 2002002505	C1	20031120		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016320	A1	20020207	US 2001-896874	20010629
EP 1299349	A2	20030409	EP 2001-950719	20010629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003096864	A1	20030522	US 2001-895871	20010629
JP 2004502664	T2	20040129	JP 2002-507762	20010629

PRIORITY APPLN. INFO.: US 2000-215323P P 20000630
WO 2001-US20852 W 20010629

OTHER SOURCE(S): MARPAT 136:102189
GI



I



II

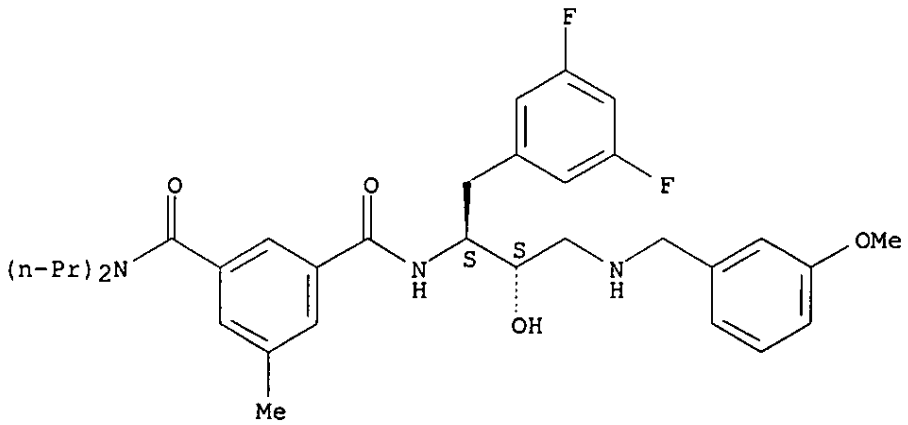
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl; R3 = H, (un)substituted alkyl; or R2 and R3 are taken together with the carbon to which they are attached to form (un)substituted 3-7 membered carbo(or hetero)cycle; R4 = RX; X = CO, SO2; R = Ph, naphthyl, indanyl, etc.; R5 = alkyl, (CH2)0-3cycloalkyl, etc.], useful as β-secretase inhibitors, were prepared Thus, reacting (2S,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with N,N,-dipropylamidoisophthalic acid in the presence of Et3N, HOBt and EDC in CH2Cl2 afforded (1S,2S)-II.

IT **388077-90-5P 388077-92-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amines for treating Alzheimer's disease)

RN 388077-90-5 CAPLUS

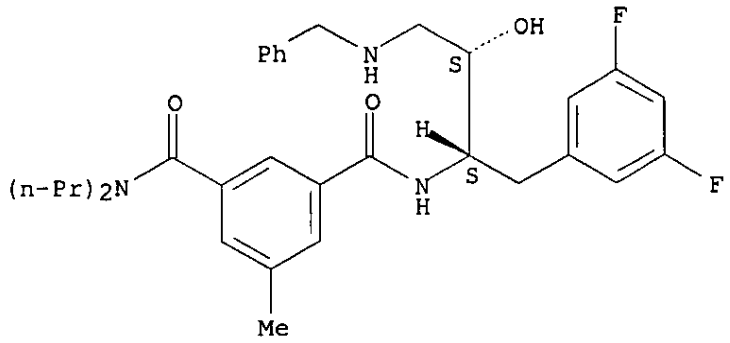
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 388077-92-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[(phenylmethyl)amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:506087 CAPLUS
DOCUMENT NUMBER: 125:168656
TITLE: HIV protease inhibitors
INVENTOR(S): Abbenante, John; Bergman, Doug; Brinkworth, Ross; Dancer, Robert; Garnham, Bronwyn; Hunt, Peter; Fairlie, David; March, Darren; Martin, Jennifer; Reid, Robert
PATENT ASSIGNEE(S): University of Queensland, Australia
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616950	A1	19960606	WO 1995-AU817	19951204
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641118	A1	19960619	AU 1996-41118	19951204
US 6043357	A	20000328	US 1997-849599	19970909

PRIORITY APPLN. INFO.: AU 1994-9825 19941202
WO 1995-AU817 19951204
OTHER SOURCE(S): MARPAT 125:168656
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

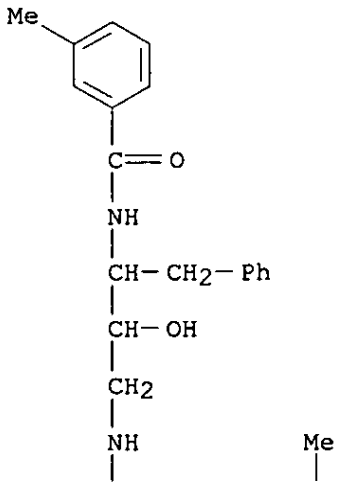
AB HIV-1 protease inhibitors which include an N-terminal ring I or a C-terminal ring II or both rings I and II [R = Asn, Ile, Val, or Glu side chain, C1-C6 alkyl, cycloalkyl; X = (CH2)n (n = 3-6), CH(OH)CH(OH)CH2, CH(CO2H)CH2CH2, CH2CONHCHR1, where R1 = D- or L-amino acid, C1-C6 alkyl] were prepared Thus, cyclic peptide III (R and S isomers) was prepared via O-alkylation of Boc-Tyr-OH, conversion to the tyrosylmethyl bromide derivative, coupling with resin-bound H-Pro-Ile-Val-NH2, etc. HIV-1 protease inhibitory data 134 are tabulated for 134 synthesized cyclic peptides.

IT **175170-13-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of cyclic peptides as HIV protease inhibitors)

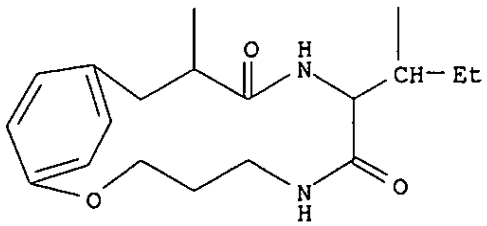
RN 175170-13-5 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[[8-(1-methylpropyl)-7,10-dioxo-2-oxa-6,9-diazabicyclo[11.2.2]heptadeca-13,15,16-trien-11-yl]amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



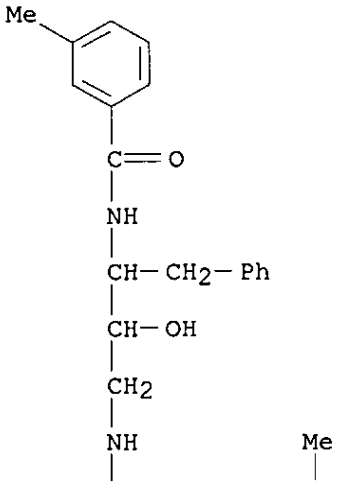
L6 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:172301 CAPLUS
DOCUMENT NUMBER: 124:249757
TITLE: Substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode
AUTHOR(S): March, Darren R.; Abbenante, Giovanni; Bergman, Douglas A.; Brinkworth, Ross I.; Wickramasinghe, Wasantha; Begun, Jake; Martin, Jennifer L.; Fairlie, David P.
CORPORATE SOURCE: Centre for Drug Design and Development, University of Queensland, Brisbane, 4072, Australia
SOURCE: Journal of the American Chemical Society (1996), 118(14), 3375-9
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Results are presented for inhibitors of HIV-1 protease that demonstrate a new strategy for developing peptidomimetics, involving the replacement of flexible segments of peptide substrates with conformationally constrained hydrolytically-stable macrocyclic structural mimics. A 15-membered macrocycle that imitates the tripeptide Phe-Ile-Val was designed and incorporated into the C-terminus of Ac-Leu-Val-Phe-CHOHCH2-{Phe-Ile-Val}-NH2, an inhibitor of HIV-1 protease derived from a substrate sequence. Advantages of the macrocycle over the acyclic peptide include constraining its components into their bioactive conformation and protecting the amide bonds from enzymic degradation, the cycle being stable to acid, gastric proteases, and plasma. Mol. modeling and X-ray structural studies reveal that the cyclic inhibitors have a unique enzyme-binding mode, the sterically unencumbered hydroxyethylamine isostere binds via both its hydroxyl and protonated nitrogen to the anionic Asp25 catalytic residues. The novel macrocycle superimposes well on the linear peptidic inhibitor for which it was designed as a structural mimic. Structural mimicry led to functional mimicry as shown by comparable inhibition of the protease by cyclic and acyclic mols. Further modification of the acyclic N-terminus (Leu-Val-Phe) gave stable, water-soluble, potent inhibitors of HIV-1 protease. This approach may have general application to the development of mimetics of other bioactive peptides, including inhibitors of other enzymes.

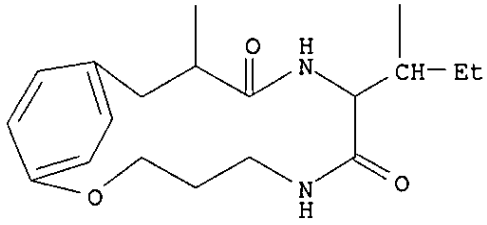
IT **175170-13-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclic peptidomimetics as HIV-1 protease inhibitor; substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode)

RN 175170-13-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[8-(1-methylpropyl)-7,10-dioxo-2-oxa-6,9-diazabicyclo[11.2.2]heptadeca-13,15,16-trien-11-yl]amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



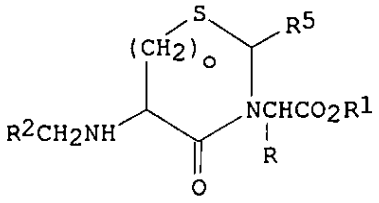
L6 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:471331 CAPLUS
DOCUMENT NUMBER: 103:71331
TITLE: Acylamino oxo or hydroxy-substituted alkylamino thiazines and thiazepines
INVENTOR(S): Weller, Harold N., III; Gordon, Eric M.; Karanewsky, Donald S.; Ryono, Denis E.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 16 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4512988	A	19850423	US 1984-585058	19840301
AU 8539255	A1	19850912	AU 1985-39255	19850228

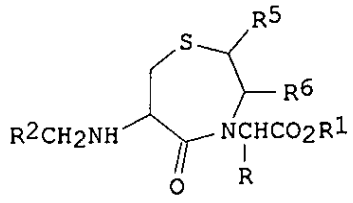
AU 577831	B2	19881006			
EP 154904	A1	19850918	EP 1985-102280	19850228	
EP 154904	B1	19871028			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
ZA 8501555	A	19851030	ZA 1985-1555	19850228	
AT 30429	E	19871115	AT 1985-102280	19850228	
CA 1242438	A1	19880927	CA 1985-475365	19850228	
JP 60202870	A2	19851014	JP 1985-41770	19850301	
JP 06088989	B4	19941109			
PRIORITY APPLN. INFO.:			US 1984-585058	19840301	
			EP 1985-102280	19850228	

OTHER SOURCE(S): CASREACT 103:71331

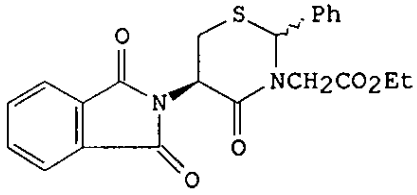
GI



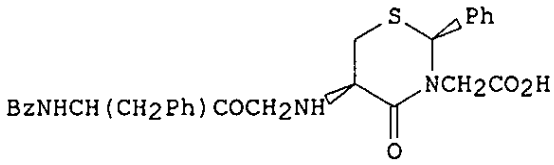
I



II



III



IV

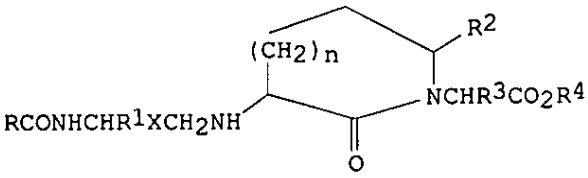
AB Antihypertensive (no data) thiazines and thiazepines I and II [R = H, alkyl, aminoalkyl, hydroxyalkyl, haloalkyl; R1 = H, alkyl, PhCH2, Ph2CH, Me3SiCH2CH2, salt forming ion, CHR7O2CR8 (R7 = H, alkyl, cycloalkyl, Ph; R8 = R7, alkoxy, PhCH2, PhCH2CH2); R2 = R3(CH2)mCONHCH[(CH2)nR4]C(Z); R3 = (substituted) Ph, thienyl, furyl, pyridyl; R4 = R3, OH, NH2, SH, halo, indolyl, imidazolyl, alkylthio, guanidino, carbamoyl, cycloalkyl; m = 0-4; n = 1-4; Z = O, (H, OH); R5, R6 = H, alkyl, cycloalkylalkyl, R5R6 = benzo; o = 1, 2] were prepared via inter- and intramol. cyclocondensations of cysteine derivs. Thus, cyclocondensation of N-phthaloyl-L-cysteine with PhCH:NCH2CO2Et gave thiazineacetate III as a mixture of diastereomers, the (2S)-isomer of which was transesterified with Me3SiCH2CH2OH, deprotected, alkylated with (S)-PhCH2CH(NHBz)COCH2Cl and hydrolyzed to give [2S-[2α,5α(S)]]-thiazine IV.

IT **97246-59-8P 97549-62-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

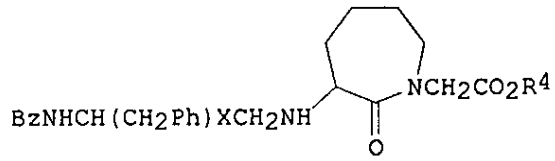
RN 97246-59-8 CAPLUS

CN 2H-1,3-Thiazine-3(4H)-acetic acid, 5-[[3-(benzoylamino)-2-hydroxy-4-

PRIORITY APPLN. INFO.: US 1983-549931 19831109
OTHER SOURCE(S): CASREACT 102:78746
GI



I



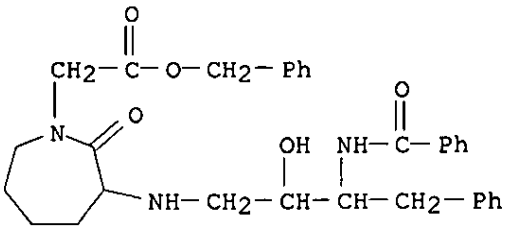
II

AB Antihypertensive (no data) lactams I [n = 1-4; X = CO, CHOH; R = R5; R1 = H, alkyl, R5, cycloalkyl, cycloalkylalkyl, 3-indolyl, 3-indolylalkyl, hydroxyalkyl, imidazolylalkyl, aminoalkyl, mercaptoalkyl, alkylthioalkyl, guanidinoalkyl, carbamnoylalkyl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, R5, R3 = H, alkyl, aminoalkyl, hydroxyalkyl, haloalkyl; R4 = H, alkyl, CH2Ph, CHPh2, 1-acyloxyalkyl, cation; R5 = (un)substituted Ph, phenylalkyl, thienyl, thienylalkyl, furyl, furylalkyl, pyridyl, pyridylalkyl] were prepared Thus, (S)-II (R4 = H, X = CO) was prepared from Me3CO2C-Lys(CO2CH2Ph)-OH and (S)-BzNHCH(CH2Ph)COCH2Cl in 6 steps. II (R4 = CH2Ph, X = CO) was reduced with NaBH4 and hydrogenolyzed over Pd-C to give II (R4 = H, X = CHOH).

IT **93960-65-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

RN 93960-65-7 CAPLUS

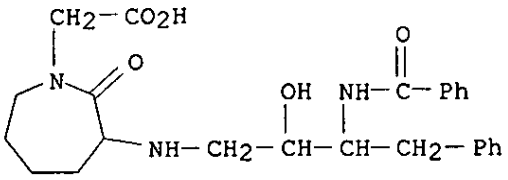
CN 1H-Azepine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



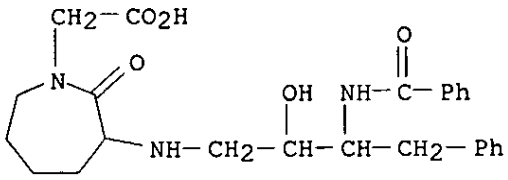
IT **93960-66-8P 93960-67-9P 93960-71-5P**
93960-72-6P 93960-73-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93960-66-8 CAPLUS

CN 1H-Azepine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo- (9CI) (CA INDEX NAME)

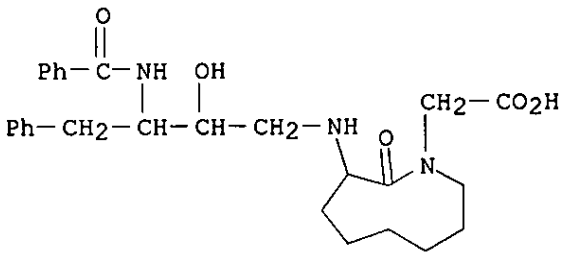


RN 93960-67-9 CAPLUS
CN 1H-Azepine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo-, monosodium salt (9CI) (CA INDEX NAME)

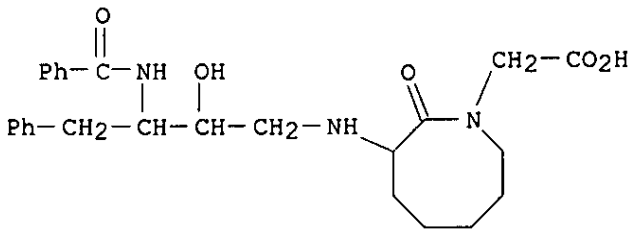


● Na

RN 93960-71-5 CAPLUS
CN 1H-Azonine-1-acetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]octahydro-2-oxo- (9CI) (CA INDEX NAME)

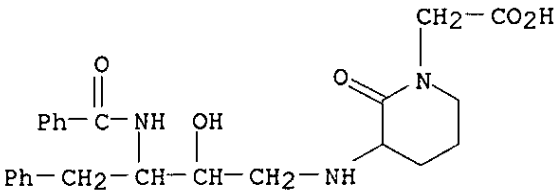


RN 93960-72-6 CAPLUS
CN 1(2H)-Azocineacetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-phenylbutyl]amino]hexahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 93960-73-7 CAPLUS
CN 1-Piperidineacetic acid, 3-[[3-(benzoylamino)-2-hydroxy-4-

phenylbutyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



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